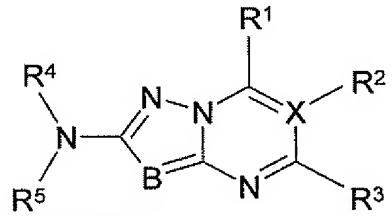
**Amendments to the Specification**

**Please amend the specification starting on page 20, line 6, and ending on page 23, line 10, as follows:**

The invention relates to the compounds of the formula I and salts thereof and to a process for the preparation of compounds of the formula I according to aspects ~~Claims~~ 1-33 as provided below and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof,

in aspect 1, to compounds of the formula I



I

in which

| | |
|---|---|
| X | denotes C or N, |
| B | denotes N, CH or C-CN, |
| $R^1$ | denotes H, A, OH, $NH_2$, $-(CH_2)_m$-Ar or $-(CH_2)_m$-$Het^2$, |
| $R^2$ | if X = N is absent or |
| | if X = C denotes H, A, Hal, CN, $-(CH_2)_p$-Ar, $-(CH_2)_p$-COOH, $-(CH_2)_p$-COOA, $-(CH_2)_p$-$Het^3$, $-(CH_2)_p$-$NH_2$, $SO_2A$, CHO or COA, |
| $R^3$ | denotes H, A, -S-A, $-(CH_2)_p$-Ar, $-(CH_2)_p$-Het, NH-$(CH_2)_p$-Ar, NH-$(CH_2)_p$-Het, $NH_2$, NHA, $NA_2$, NH-alkylene-$NH_2$, NH-alkylene-NHA, NH-alkylene-$NA_2$ or NA-alkylene-$NA_2$, |
| $R^4$ | denotes $-(CH_2)_s$-$(Ar^1)_n$-Y-$R^6$, |
| $R^5$ | denotes H or $CH_3$, |
| $R^4$ and $R^5$ | together also denote $Het^4$—N(-$CH_2$-$CH_2$-)(-$CH_2$-$CH_2$-), |
| $R^6$ | denotes $Het^4$, $-(CH_2)_r$-$NH_2$, $-(CH_2)_r$-NHA or $-(CH_2)_r$-$NA_2$, |
| Y | denotes O, S, $(CH_2)_q$ or NH, |

Ar denotes phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, OA, $NH_2$, $NO_2$, CN, COOH, COOA, $CONH_2$, NHCOA, $NHCONH_2$, $NHSO_2A$, CHO, COA, $SO_2NH_2$, $SO_2A$, $-CH_2$-COOH or $-OCH_2$-COOH,

$Ar^1$ denotes phenylene or piperazinediyl,

Het denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, OA, COOA, CN, $-(CH_2)_p$-Ar, $-(CH_2)_t$-OH, $-(CH_2)_p$-$Het^1$ or carbonyl oxygen (=O),

$Het^1$ denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by A or carbonyl oxygen (=O),

$Het^2$ denotes a monocyclic aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by A,

$Het^3$ denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by A,

$Het^4$ denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, $CONH_2$, CONHA, $CONA_2$ or $Ar^2$,

$Ar^2$ denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, OA, $NH_2$, $NO_2$, CN, COOH, COOA, $CONH_2$, NHCOA, $NHCONH_2$, $NHSO_2A$, CHO, COA, $SO_2NH_2$ or $SO_2A$,

$R^7$, $R^8$, $R^9$, $R^{10}$ each, independently of one another, denote H, A or $-(CH_2)_p$-Ar,

A denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

m denotes 0, 1, 2, 3 or 4,

n denotes 0 or 1,

p denotes 0, 1, 2, 3 or 4,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

s denotes 0, 1, 2, 3 or 4,

Hal denotes F, Cl, Br or I,

and, if X = C,

$R^1$ and $R^2$ together may also denote $-(CH_2)_4-$ or

$R^2$ and $R^3$ together may also denote $-(CHR^7-CHR^8-NR^9-CHR^{10})-$,

and, if $Ar^1$ denotes piperazinediyl, $R^6$ may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 2, to compounds according to aspect 1 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m$-Ar or $-(CH_2)_m$-$Het^2$,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

m denotes 0,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 3, to compounds according to aspect 1 or 2 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0 or 1,

n denotes 1,

$Ar^1$ denotes phenylene,

$R^6$ denotes $Het^4$,

Y denotes O,

$Het^4$ denotes pyridyl which is unsubstituted or monosubstituted by CONHA,
or benzo-1,2,5-thiadiazol-5-yl,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 4, to compounds according to aspects 1-3 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 1,

n denotes 0,

Y denotes $(CH_2)_q$,

q denotes 0,

$R^6$ denotes $Het^4$,

$Het^4$ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, thiazole, 1,2,3-triazole, thienyl or furyl, each of which is unsubstituted or monosubstituted by CONHA, A and/or $Ar^2$,

$Ar^2$ denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 5, to compounds according to aspects 1-4 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 0,

Y denotes $(CH_2)_q$,

q denotes 0,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

r denotes 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 6, to compounds according to aspects 1-5 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 1,

$Ar^1$ denotes phenylene,

Y denotes O, $(CH_2)_q$ or NH,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 7, to compounds according to aspects 1-6 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 1, 2, 3 or 4,

n denotes 0,

Y denotes $(CH_2)_q$,

q denotes 0,

$R^6$ denotes $Het^4$,

$Het^4$ denotes a monocyclic saturated heterocycle having 1 to 2 N and/or O atoms, which may be unsubstituted or mono- or disubstituted by A,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 8, to compounds according to aspects 1-7 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

$R^2$ if X = N is absent or

if X = C denotes CN,

$R^3$ denotes H, A, -S-A, phenyl or $-(CH_2)_p-Het$,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 9, to compounds according to aspects 1-8 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

$R^2$ if X = N is absent or

if X = C denotes CN,

$R^3$ denotes H, A, -S-A, phenyl or $-(CH_2)_p$-Het,

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 0,

Y denotes $(CH_2)_q$,

q denotes 0,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r$-NHA or $-(CH_2)_r-NA_2$,

r denotes 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 10, to compounds according to aspects 1-9 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 1,

Y denotes $(CH_2)_q$,

q denotes 0,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r$-NHA or $-(CH_2)_r-NA_2$,

r denotes 0,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 11, to compounds according to aspects 1-10 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 0 or 1,

Y denotes $(CH_2)_q$,

q denotes 0,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r$-NHA or $-(CH_2)_r-NA_2$,

r denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 12, to compounds according to aspects 1-11 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 0 or 1,

Y denotes $(CH_2)_q$,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

$Ar^1$ denotes phenylene,

Y denotes O, $(CH_2)_q$ or NH,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 13, to compounds according to aspects 1-12 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

$R^2$ if X = N is absent or

if X = C denotes CN,

$R^3$ denotes H, A, -S-A, phenyl or $-(CH_2)_p$-Het,

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 0 or 1,

Y denotes $(CH_2)_q$,

$R^6$ denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

$Ar^1$ denotes phenylene,

Y denotes O, $(CH_2)_q$ or NH,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 14, to compounds according to aspects 1-13 in which

| | |
|---|---|
| $R^1$ | denotes A, OH, $NH_2$, $-(CH_2)_m$-Ar, |
| m | denotes 0, |
| Ar | denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA, |
| $R^2$ | if X = N is absent or |
| | if X = C denotes CN, |
| $R^3$ | denotes H, A, -S-A, phenyl or $-(CH_2)_p$-Het, |
| $R^4$ | denotes $-(CH_2)_s-(Ar^1)_n$-Y-$R^6$, |
| s | denotes 0, |
| n | denotes 1, |
| $Ar^1$ | denotes phenylene, |
| $R^6$ | denotes $Het^4$, |
| Y | denotes O, |
| $Het^4$ | denotes pyridyl which is unsubstituted or monosubstituted by CONHA, |
| | or benzo-1,2,5-thiadiazol-5-yl, |

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 15, to compounds according to aspects 1-14 in which

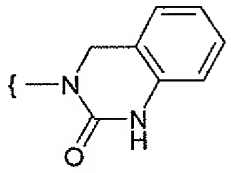
| | |
|---|---|
| $R^4$ | denotes $-(CH_2)_s-(Ar^1)_n$-Y-$R^6$, |
| s | denotes 0 or 1, |
| n | denotes 0 or 1, |
| Y | denotes O or $(CH_2)_q$, |
| q | denotes 0, |
| $R^6$ | denotes $Het^4$, |
| $Het^4$ | denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, thiazole, 1,2,3-triazole, thienyl or furyl, each of which is unsubstituted or monosubstituted by CONHA, A and/or $Ar^2$, |
| $Ar^2$ | denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, |
| $Ar^1$ | denotes phenylene, |

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 16, to compounds according to aspects 1-15 in which

Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, COOA, benzyl, $-(CH_2)_t$-OH or $-(CH_2)_p$-$Het^1$,

$Het^1$ denotes an unsubstituted monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms,

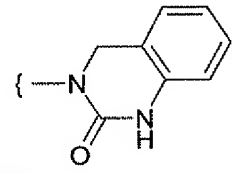
or  ,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 17, to compounds according to aspects 1-16 in which

Het denotes piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, pyridyl or furyl, which are unsubstituted or may be mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, COOA, benzyl, $-(CH_2)_t$-OH or $-(CH_2)_p$-$Het^1$,

$Het^1$ denotes morpholinyl, pyrrolidinyl, pyridyl

or  ,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 18, to compounds according to aspects 1-17 in which

$R^4$ denotes $-(CH_2)_s$-$(Ar^1)_n$-Y-$R^6$,

s denotes 0 or 1,

n denotes 0 or 1,

Y denotes O, $(CH_2)_q$ or NH,

$Ar^1$ denotes phenylene,

q denotes 0, 1, 2, 3 or 4,

$R^6$ denotes $Het^4$, $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

r denotes 0, 1, 2, 3 or 4,

$Het^4$ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, thiazole, 1,2,3-triazole, thienyl or furyl, each of which is unsubstituted or monosubstituted by CONHA, A and/or $Ar^2$,

$Ar^2$ denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 19, to compounds according to aspects 1-18 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

$R^2$ if X = N is absent or

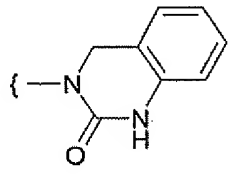
if X = C

denotes CN,

$R^3$ denotes H, A, -S-A, phenyl or $-(CH_2)_p-Het$,

Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, COOA, benzyl, $-(CH_2)_t-OH$ or $-(CH_2)_p-Het^1$,

$Het^1$ denotes an unsubstituted monocyclic saturated or aromatic heterocycle having 1 to 2 N and/or O atoms,

or  ,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 20, to compounds according to aspects 1-19 in which

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0, 1, 2, 3 or 4,

n denotes 0 or 1,

Y denotes O or $(CH_2)_q$,

$Ar^1$ denotes phenylene,

q denotes 0,

$R^6$ denotes $Het^4$, $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

r denotes 0, 1, 2, 3 or 4,

$Het^4$ denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by A, $CONH_2$, CONHA, $CONA_2$ or $Ar^2$,

$Ar^2$ denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 21, to compounds according to aspects 1-20 in which

$Het^4$ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine, thiazole or imidazole, each of which is unsubstituted or monosubstituted by CONHA, A and/or $Ar^2$,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

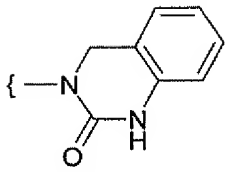
in aspect 22, to compounds according to aspects 1-21 in which

$R^4$ denotes 4-(pyridin-4-yloxy)phenyl, 4-(pyridin-4-yloxy)-phenylmethyl or 4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl, where the pyridine radical may be substituted by $CONHCH_3$,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 23, to compounds according to aspects 1-22 in which

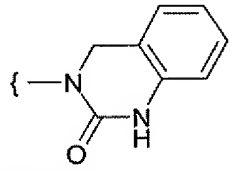
$Het^1$ denotes an unsubstituted monocyclic saturated or aromatic heterocycle having 1 to 2 N and/or O atoms,

or  ,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 24, to compounds according to aspects 1-23 in which

$Het^1$ denotes morpholinyl, pyrrolidinyl, piperidinyl, pyridyl

or  ,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 25, to compounds according to aspects 1-24 in which

$Het^2$ denotes an unsubstituted monocyclic aromatic heterocycle having 1-2 N, O and/or S atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 26, to compounds according to aspects 1-25 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m$-Ar or $-(CH_2)_m$-$Het^2$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

$R^2$ if X = N is absent or

if X = C

denotes H, CN, COOA or phenyl,

$R^3$ denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$-Het, NH-$(CH_2)_p$-Het, $NA_2$, NH-alkylene-$NA_2$ or NA-alkylene-$NA_2$,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 27, to compounds according to aspects 1-26 in which

$R^2$ if X = N is absent or

if X = C

denotes H, CN, $(CH_2)_oAr''$, $(CH_2)_oCOOA$ or $SO_2A$,

Ar'' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal or OA,

o denotes 0 or 1,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 28, to compounds according to aspects 1-27 in which

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m-Ar'$ or $-(CH_2)_m-Het^2$,

Ar' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, OA, A or COOA,

m denotes 0,

$Het^2$ denotes thienyl, furyl, imidazolyl, pyrrolyl, thiazolyl or pyridyl,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 29, to compounds according to aspects 1-28 in which

X denotes C or N,

B denotes N, CH or C-CN,

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m-Ar'$ or $-(CH_2)_m-Het^2$,

Ar' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, OA, A or COOA,

m denotes 0,

$Het^2$ denotes thienyl, furyl, imidazolyl, pyrrolyl, thiazolyl or pyridyl,

$R^2$ if X = N is absent or

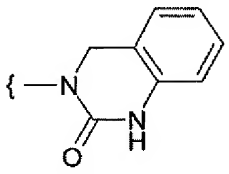
if X = C

denotes H, CN, $(CH_2)_oAr''$, $(CH_2)_oCOOA$ or $SO_2A$,

Ar'' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal or OA,

o denotes 0 or 1,

| | |
|---|---|
| $R^3$ | denotes H, A, -S-A, phenyl, NH-benzyl, -$(CH_2)_p$-Het, NH-$(CH_2)_p$-Het, $NA_2$, NH-alkylene-$NA_2$ or NA-alkylene-$NA_2$, |
| Het | denotes piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, pyridyl or furyl, which are unsubstituted or may be mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, COOA, benzyl, -$(CH_2)_t$-OH or -$(CH_2)_p$-$Het^1$, |
| $Het^1$ | denotes morpholinyl, pyrrolidinyl, pyridyl |

or  ,

| | |
|---|---|
| $R^4$ | denotes -$(CH_2)_s$-$(Ar^1)_n$-Y-$R^6$, |
| Y | denotes O or $(CH_2)_q$, |
| $R^5$ | denotes H or $CH_3$, |
| $R^4$ and $R^5$ | together also denote $Het^4$—N(-$CH_2$-$CH_2$-)(-$CH_2$-$CH_2$-), |
| $R^6$ | denotes $Het^4$, -$(CH_2)_r$-$NH_2$, -$(CH_2)_r$-NHA or -$(CH_2)_r$-$NA_2$, |
| $Het^4$ | denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine, thiazole or imidazole, each of which is unsubstituted or monosubstituted by CONHA, A and/or $Ar^2$, |
| $Ar^1$ | denotes phenylene or piperazinediyl, |
| $Ar^2$ | denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, |
| $R^7$, $R^8$, $R^9$, $R^{10}$ | each, independently of one another, denote H, A or -$(CH_2)_p$-Ar, |
| A | denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine, |
| n | denotes 0 or 1, |
| p | denotes 0, 1, 2, 3 or 4, |
| q | denotes 0, 1, 2, 3 or 4, |
| r | denotes 0, 1, 2, 3 or 4, |
| s | denotes 0, 1, 2, 3 or 4, |

t denotes 1, 2, 3 or 4,

Hal denotes F, Cl, Br or I,

and, if X = C,

$R^1$ and $R^2$ together may also denote $-(CH_2)_4-$ or

$R^2$ and $R^3$ together may also denote $-(CHR^7-NR^8-CHR^9-CHR^{10})-$,

and, if $Ar^1$ denotes piperazinediyl, $R^6$ may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 30, to compounds according to aspects 1-29 in which

X denotes C or N,

B denotes N, CH or C-CN,

$R^1$ denotes A, OH, $NH_2$, $-(CH_2)_m$-Ar' or $-(CH_2)_m$-$Het^2$,

Ar' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, OA, A or COOA,

m denotes 0,

$Het^2$ denotes an unsubstituted monocyclic aromatic heterocycle having 1-2 N, O and/or S atoms,

$R^2$ if X = N is absent or

if X = C

denotes H, CN, $(CH_2)_o$Ar'', $(CH_2)_o$COOA or $SO_2$A,

Ar'' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal or OA,

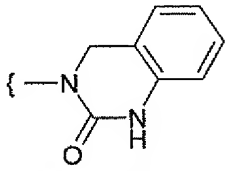
o denotes 0 or 1,

$R^3$ denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$-Het, NH-$(CH_2)_p$-Het, $NA_2$, NH-alkylene-$NA_2$ or NA-alkylene-$NA_2$,

Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA,

$NA_2$, COOA, benzyl, $-(CH_2)_t-OH$ or $-(CH_2)_p-Het^1$,

$Het^1$ denotes morpholinyl, pyrrolidinyl, pyridyl

or  ,

$R^4$ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

Y denotes O or $(CH_2)_q$,

$R^5$ denotes H or $CH_3$,

$R^4$ and $R^5$ together also denote $Het^4-N<^{CH_2-CH_2-}_{CH_2-CH_2-}$ ,

$R^6$ denotes $Het^4$, $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

$Het^4$ denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by A, $CONH_2$, CONHA, $CONA_2$ or $Ar^2$,

$Ar^1$ denotes phenylene or piperazinediyl,

$Ar^2$ denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

$R^7$, $R^8$, $R^9$, $R^{10}$ each, independently of one another, denote H, A or $-(CH_2)_p-Ar$,

A denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

n denotes 0 or 1,

p denotes 0, 1, 2, 3 or 4,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

s denotes 0, 1, 2, 3 or 4,

t denotes 1, 2, 3 or 4,

Hal denotes F, Cl, Br or I,

and, if X = C,

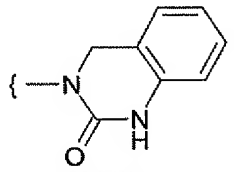
$R^1$ and $R^2$ together may also denote $-(CH_2)_4-$ or $R^2$ and $R^3$ together may also denote $-(CHR^7-NR^8-CHR^9-CHR^{10})-$,

and, if $Ar^1$ denotes piperazinediyl, $R^6$ may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 31, to compounds according to aspects 1-30 in which

| | |
|---|---|
| X | denotes N, |
| B | denotes N, CH or C-CN, |
| $R^1$ | denotes $NH_2$, |
| $R^2$ | is absent, |
| $R^3$ | denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$-Het, NH-$(CH_2)_p$-Het, $NA_2$, NH-alkylene-$NA_2$ or NA-alkylene-$NA_2$, |
| Het | denotes piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, pyridyl or furyl, which are unsubstituted or may be mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, COOA, benzyl, $-(CH_2)_t$-OH or $-(CH_2)_p$-$Het^1$, |
| $Het^1$ | denotes morpholinyl, pyrrolidinyl, pyridyl |

or  ,

| | |
|---|---|
| $R^4$ | denotes $-(CH_2)_s-(Ar^1)_n$-Y-$R^6$, |
| Y | denotes O or $(CH_2)_g$, |
| $R^5$ | denotes H or $CH_3$, |
| $R^4$ and $R^5$ | together also denote $Het^4$—N(-$CH_2$-$CH_2$-)(-$CH_2$-$CH_2$-), |
| $R^6$ | denotes $Het^4$, $-(CH_2)_r-NH_2$, $-(CH_2)_r$-NHA or $-(CH_2)_r-NA_2$, |

$Het^4$ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine, thiazole or imidazole, each of which is unsubstituted or monosubstituted by CONHA, A and/or $Ar^2$,

$Ar^1$ denotes phenylene or piperazinediyl,

$Ar^2$ denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

A denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

n denotes 0 or 1,

p denotes 0, 1, 2, 3 or 4,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

s denotes 0, 1, 2, 3 or 4,

t denotes 1, 2, 3 or 4,

Hal denotes F, Cl, Br or I,

and, if $Ar^1$ denotes piperazinediyl, $R^6$ may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

in aspect 32, to compounds according to aspects 1-31 in which

X denotes N,

B denotes N, CH or C-CN,

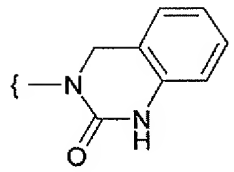
$R^1$ denotes $NH_2$,

$R^2$ is absent,

$R^3$ denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$-Het, NH-$(CH_2)_p$-Het, $NA_2$, NH-alkylene-$NA_2$ or NA-alkylene-$NA_2$,

Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, $NA_2$, COOA, benzyl, $-(CH_2)_t$-OH or $-(CH_2)_p$-$Het^1$,

$Het^1$ denotes morpholinyl, pyrrolidinyl, pyridyl

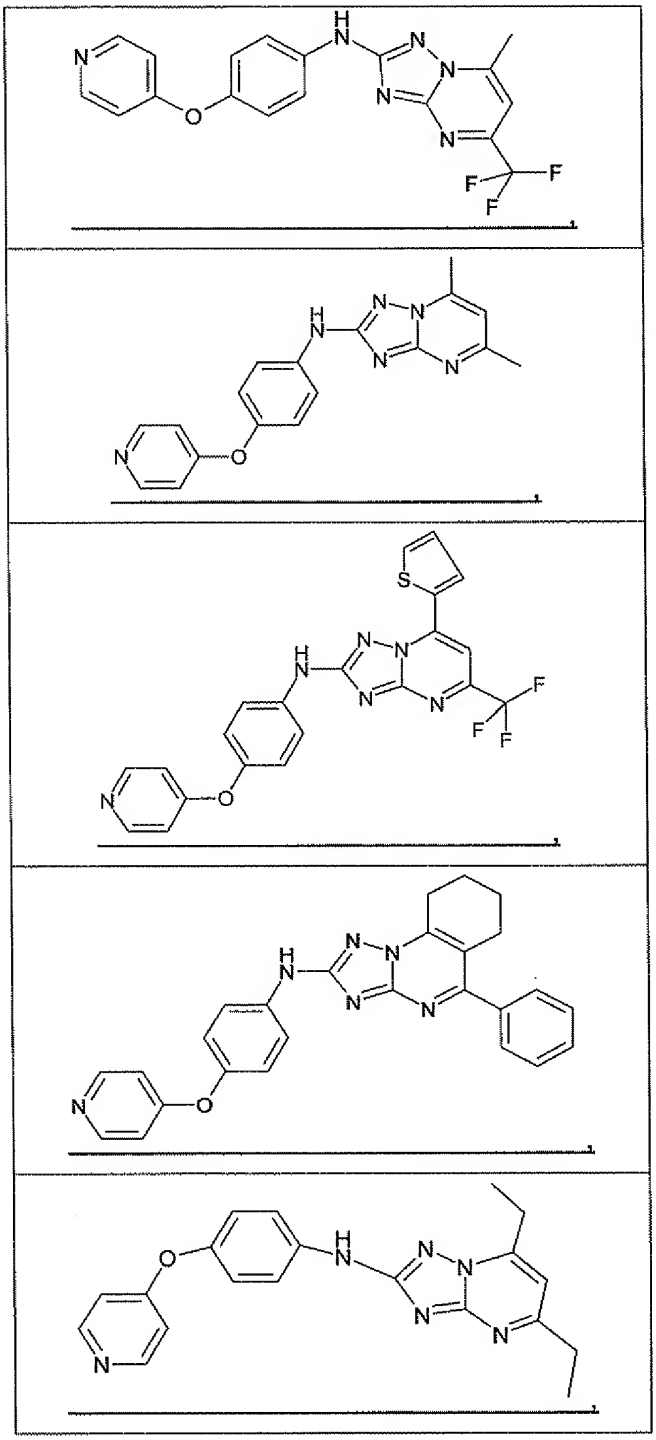
or  ,

| | |
|---|---|
| $R^4$ | denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$, |
| Y | denotes O or $(CH_2)_g$, |
| $R^5$ | denotes H or $CH_3$, |
| $R^4$ and $R^5$ | together also denote $Het^4-N<^{CH_2-CH_2-}_{CH_2-CH_2-}$ , |
| $R^6$ | denotes $Het^4$, $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$, |
| $Het^4$ | denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by A, $CONH_2$, CONHA, $CONA_2$ or $Ar^2$, |
| $Ar^1$ | denotes phenylene or piperazinediyl, |
| $Ar^2$ | denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A, |
| A | denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine, |
| n | denotes 0 or 1, |
| p | denotes 0, 1, 2, 3 or 4, |
| q | denotes 0, 1, 2, 3 or 4, |
| r | denotes 0, 1, 2, 3 or 4, |
| s | denotes 0, 1, 2, 3 or 4, |
| t | denotes 1, 2, 3 or 4, |
| Hal | denotes F, Cl, Br or I, |

and, if $Ar^1$ denotes piperazinediyl, $R^6$ may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios; and

in aspect 33, to compounds according to aspects 1, selected from the group (7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(pyridin-4-yloxy)phenyl]amine,



(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[3-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[3-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(7-methyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[3-(2-(N-methyl-aminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(5,7-bistrifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(5,7-dimethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]amine,

(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]amine,

(2-phenylthiazol-4-ylmethyl)-(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)amine,

(2-phenylthiazol-4-ylmethyl)-(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(pyridin-4-yloxy)benzyl]amine,

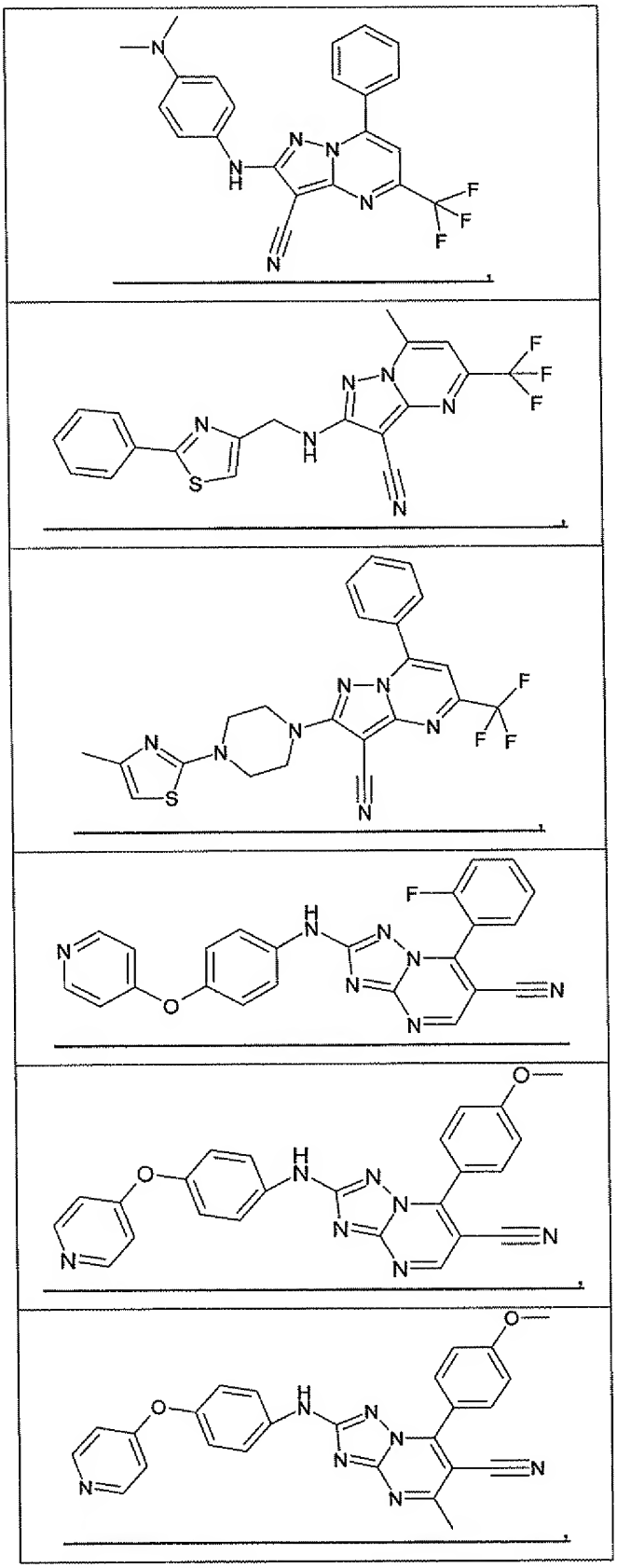
(3-dimethylaminopropyl)-(7-methyl-5-trifluoromethyl-1,2,4-triazolo-[1,5-a]pyrimidin-2-yl)amine,

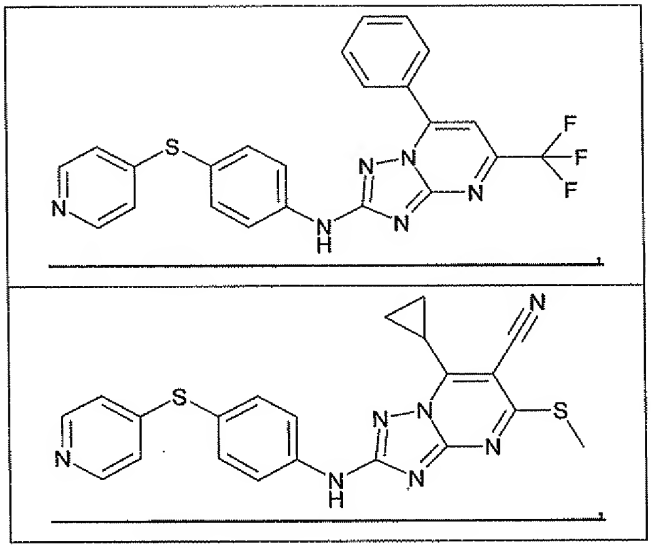
7-phenyl-2-[4-(pyridin-4-yloxy)phenylamino]-5-trifluoromethyl-pyrazool[1,5-a]pyrimidine-3-carbonitrile,

7-methyl-2-[4-(pyridin-4-yloxy)phenylamino]-5-trifluoromethyl-pyrazolo[1,5-a]pyrimidine-3-carbonitrile,

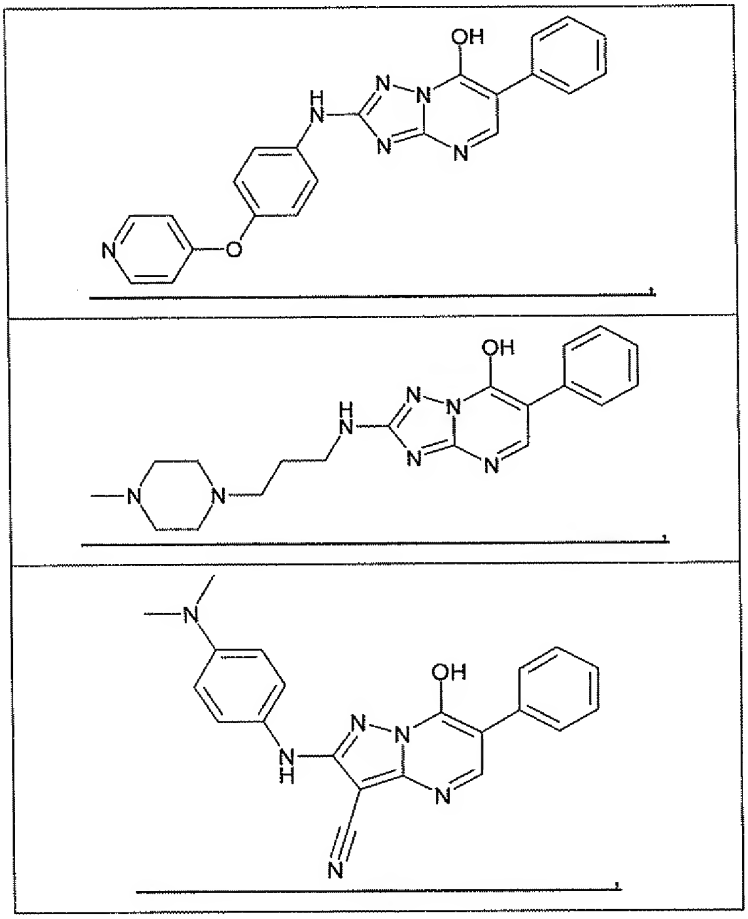
5,7-dimethyl-2-[4-(pyridin-4-yloxy)phenylamino]pyrazolo[1,5-a]-pyrimidine-3-carbonitrile,

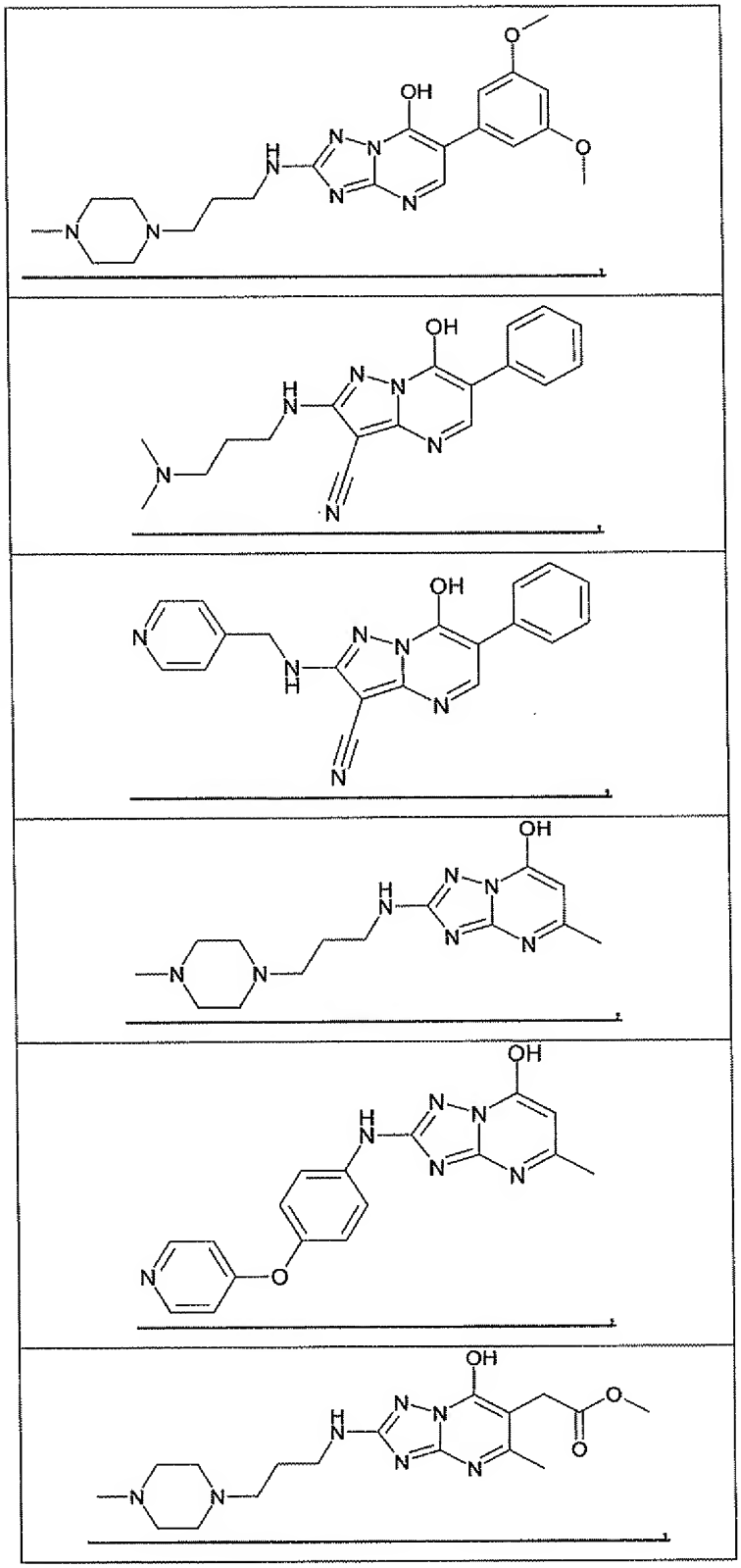
7-phenyl-2-[4-(pyridin-4-yloxy)phenylmethylamino]-5-trifluoro-methylpyrazolo[1,5-a]pyrimidine-3-carbonitrile,

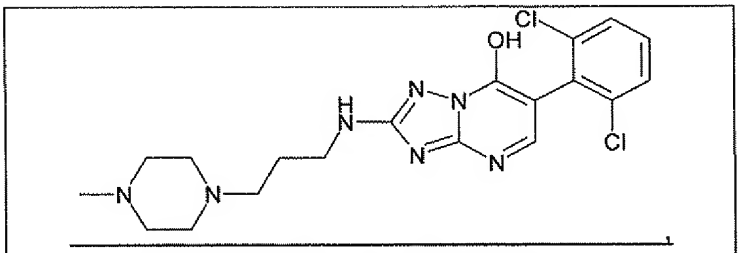


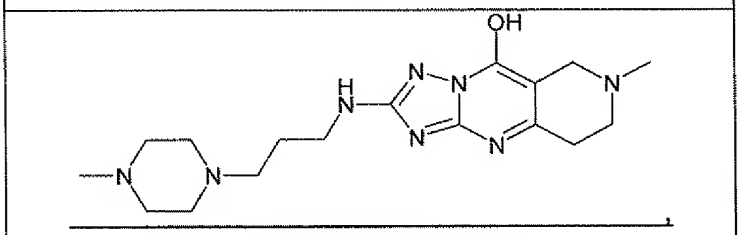


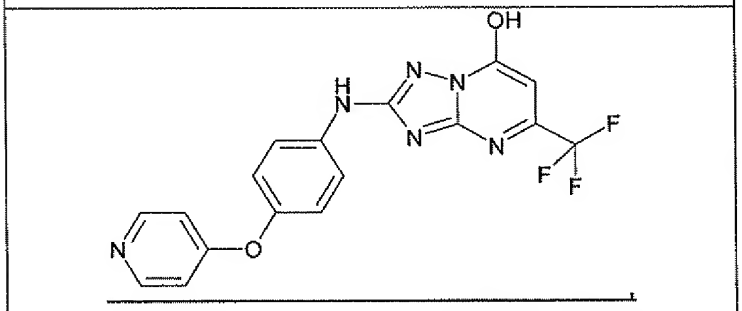
6-benzyl-2-[3-(4-methylpiperazin-1-yl)propylamino]-5,6,7,8-tetrahydro-1,3,3a,6,9-pentaazacyclopenta[b]naphthalen-4-ol,

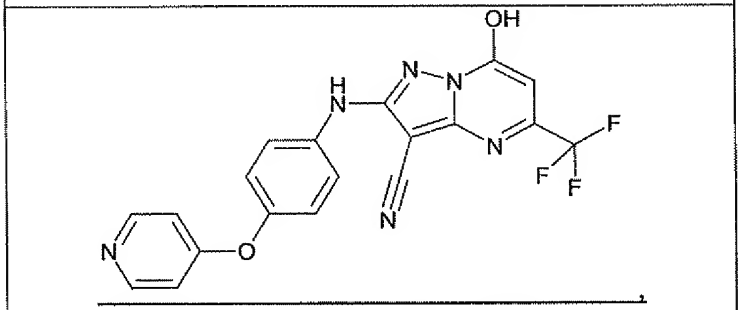


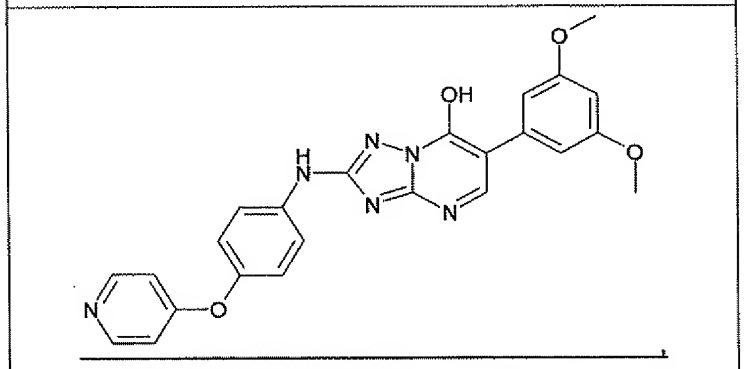


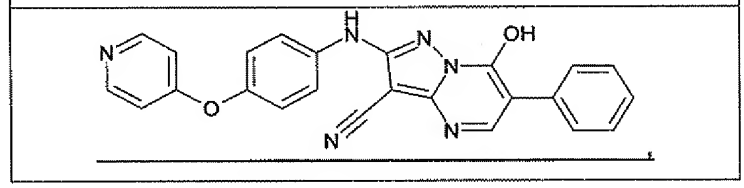


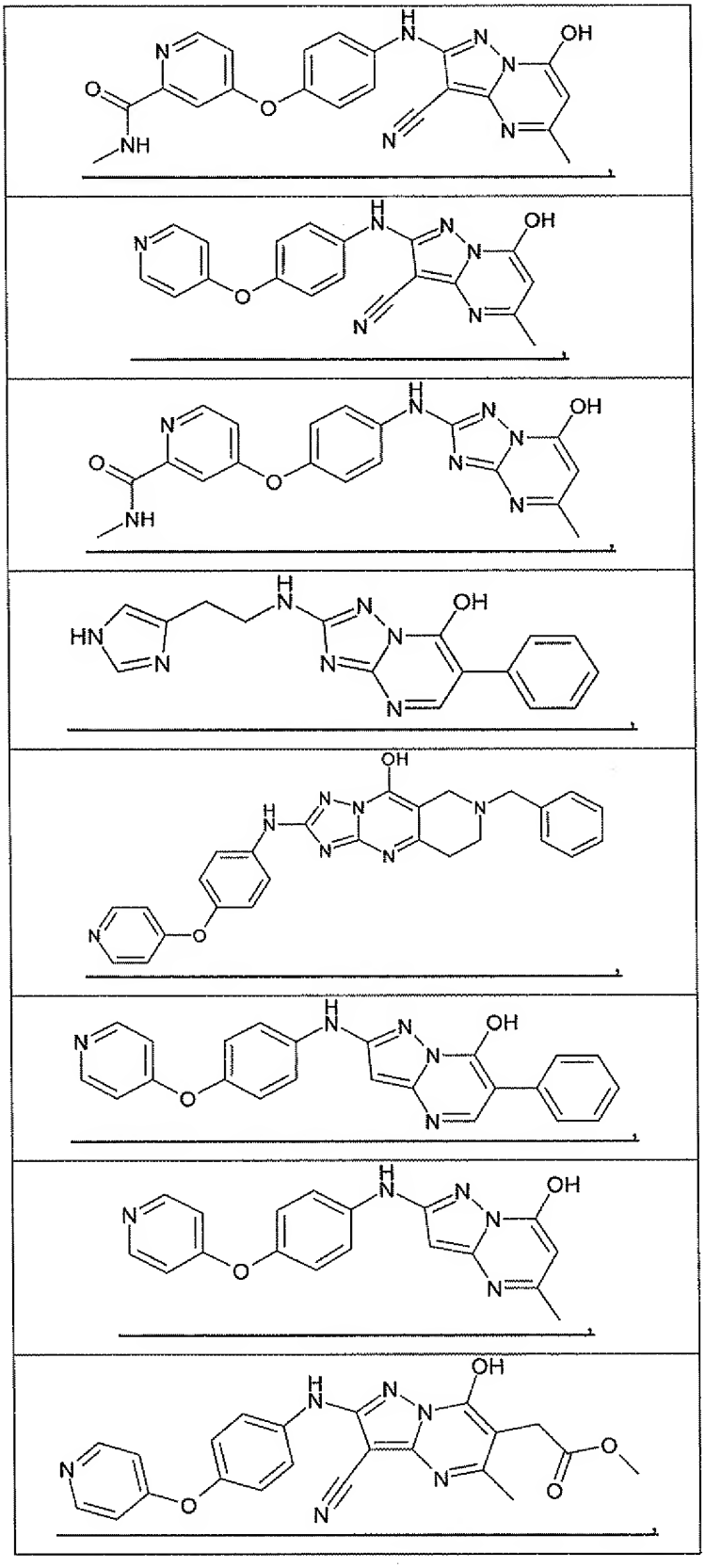


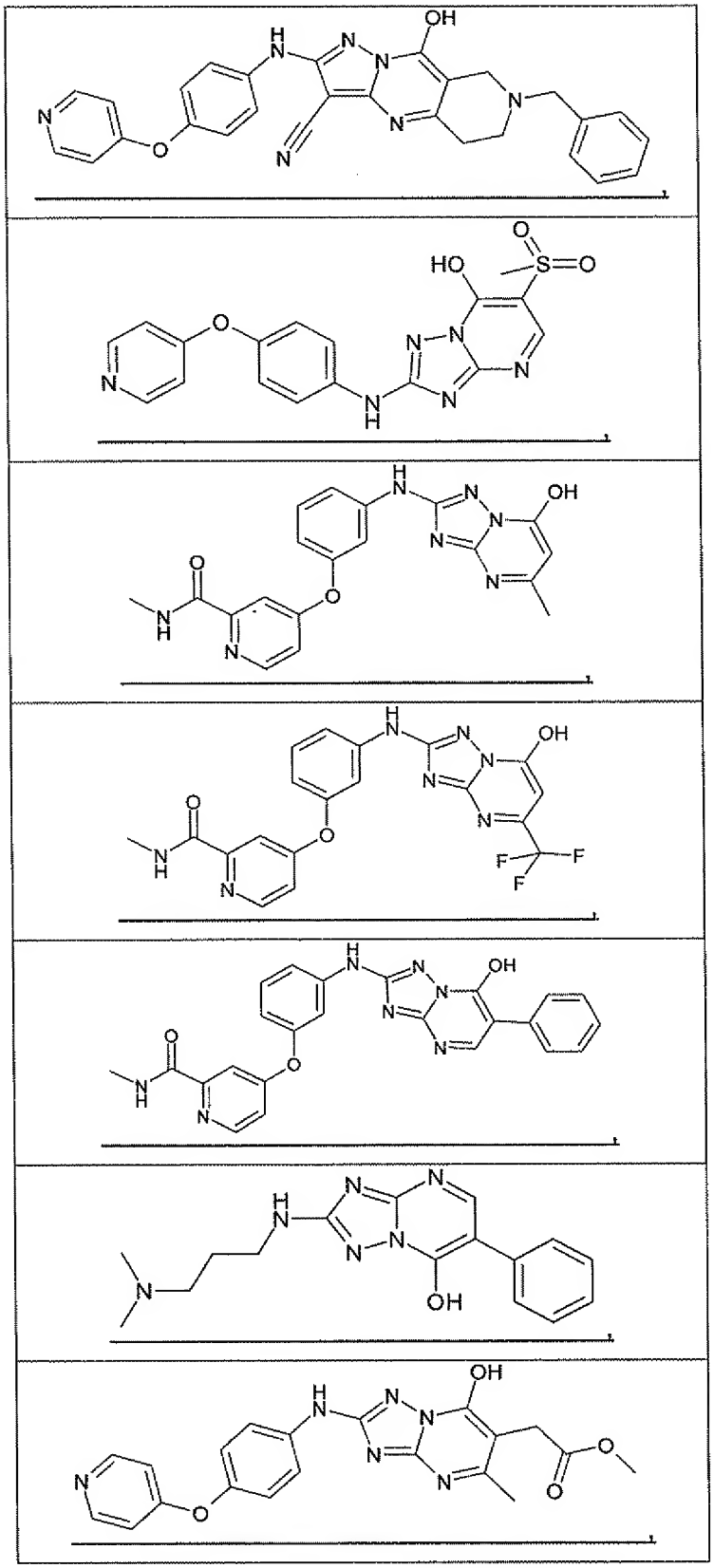


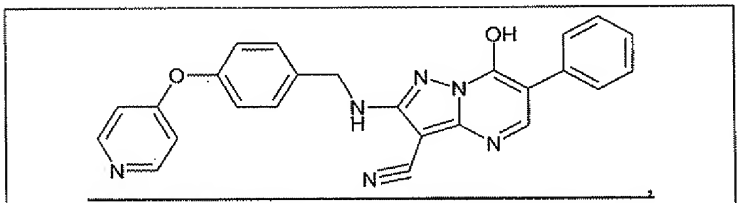


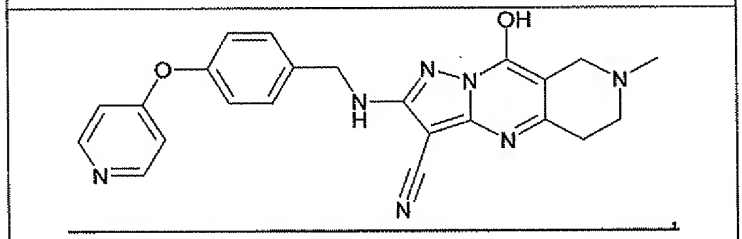


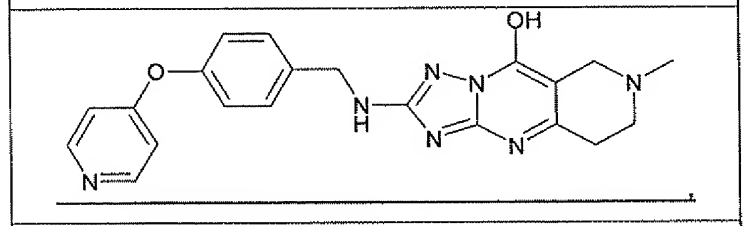


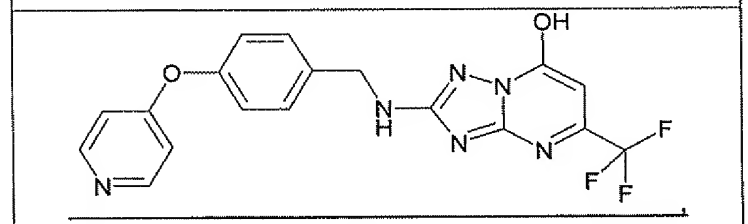


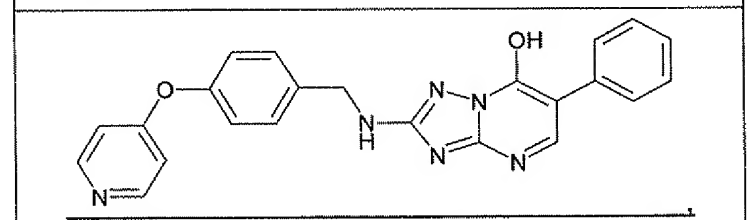


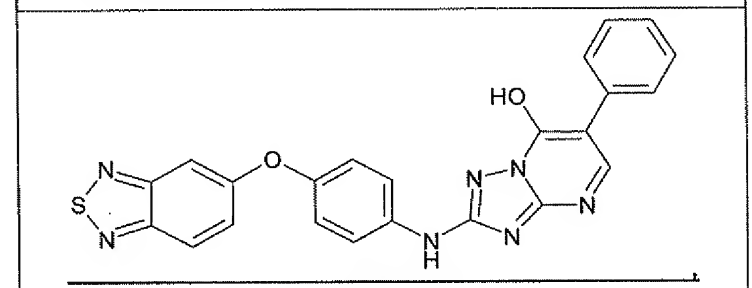


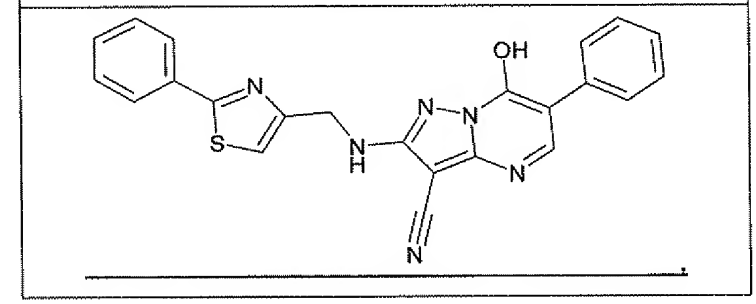


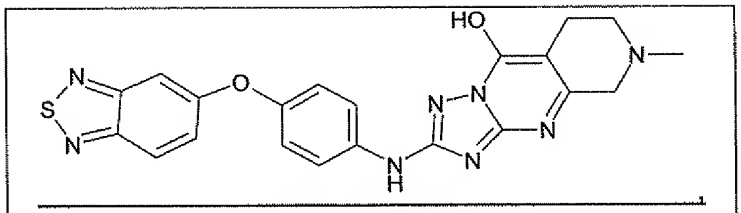


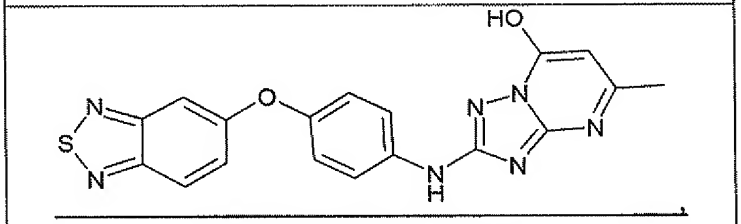


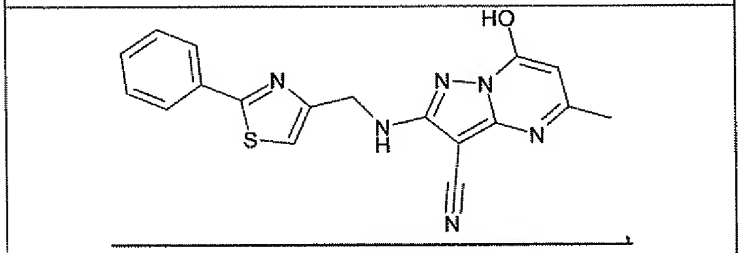


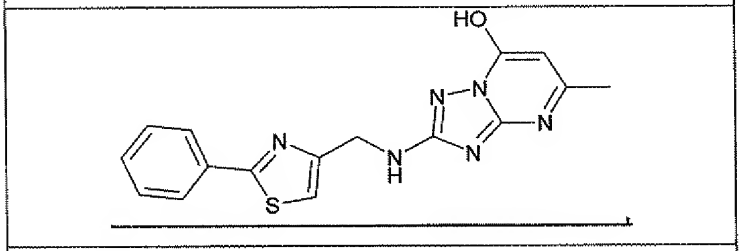


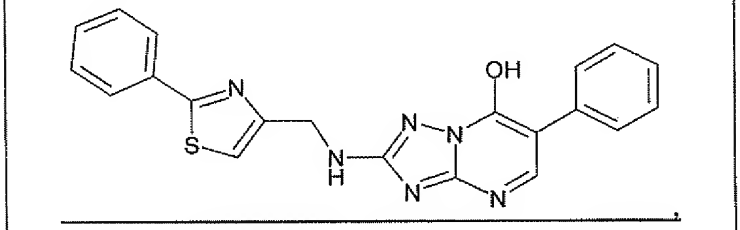


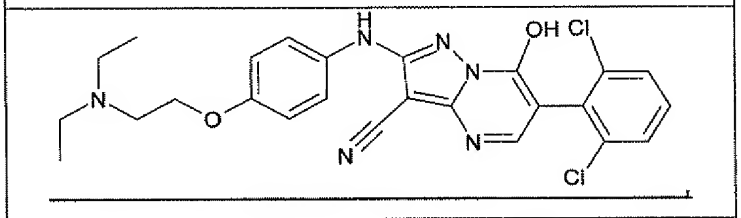


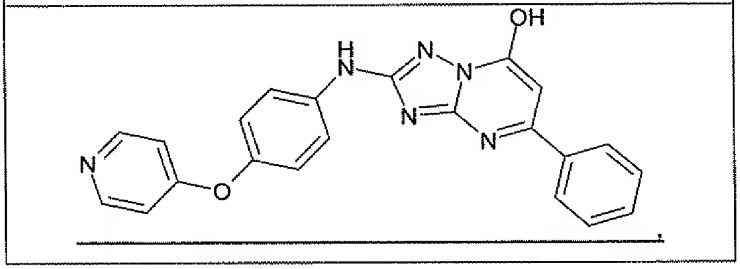


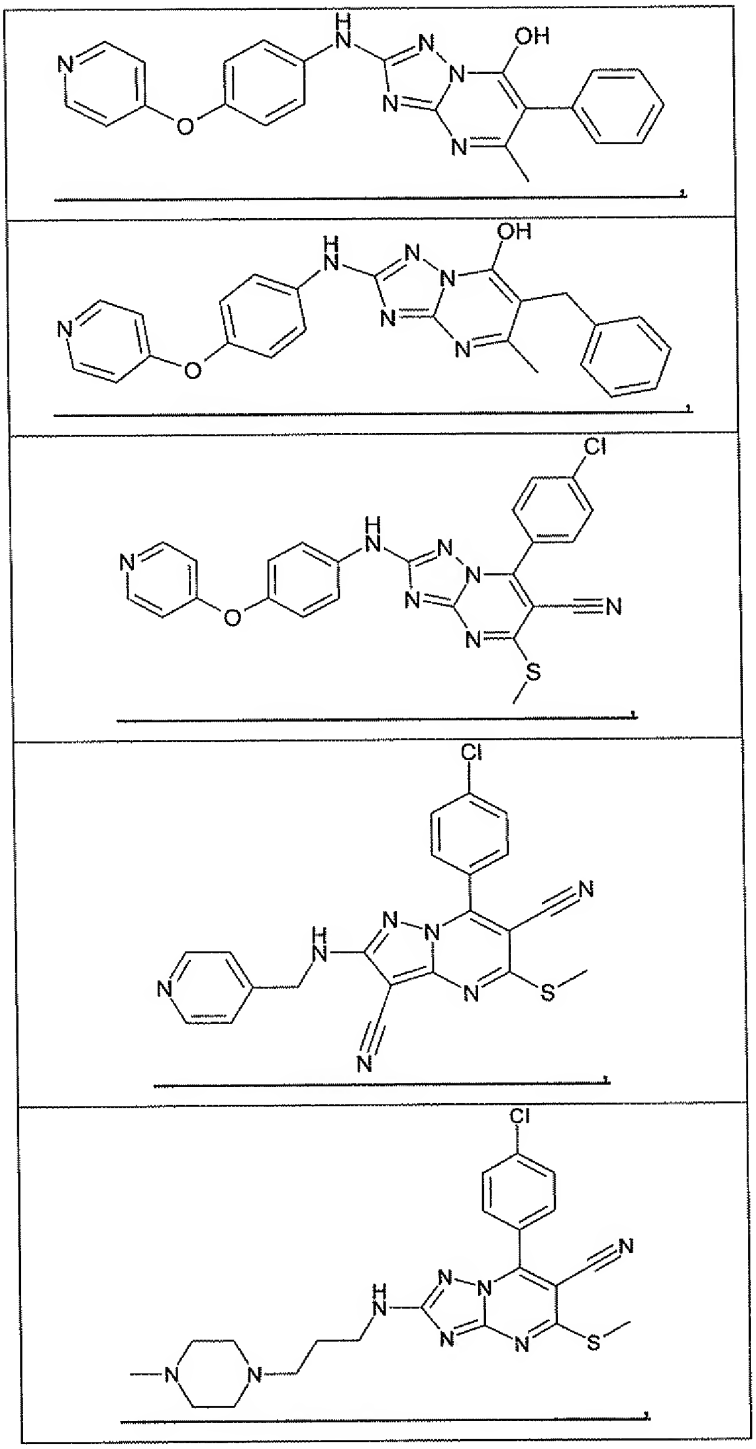


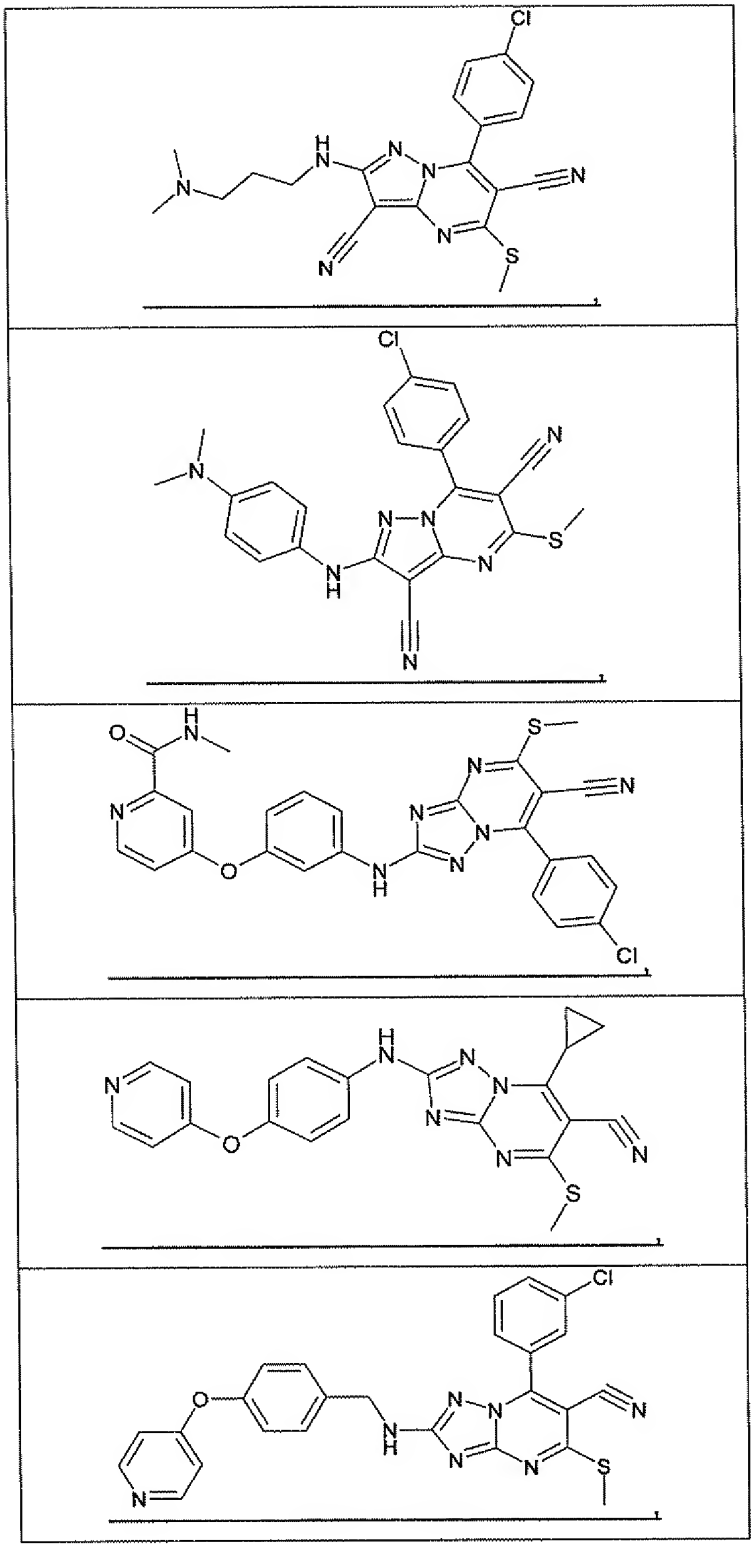


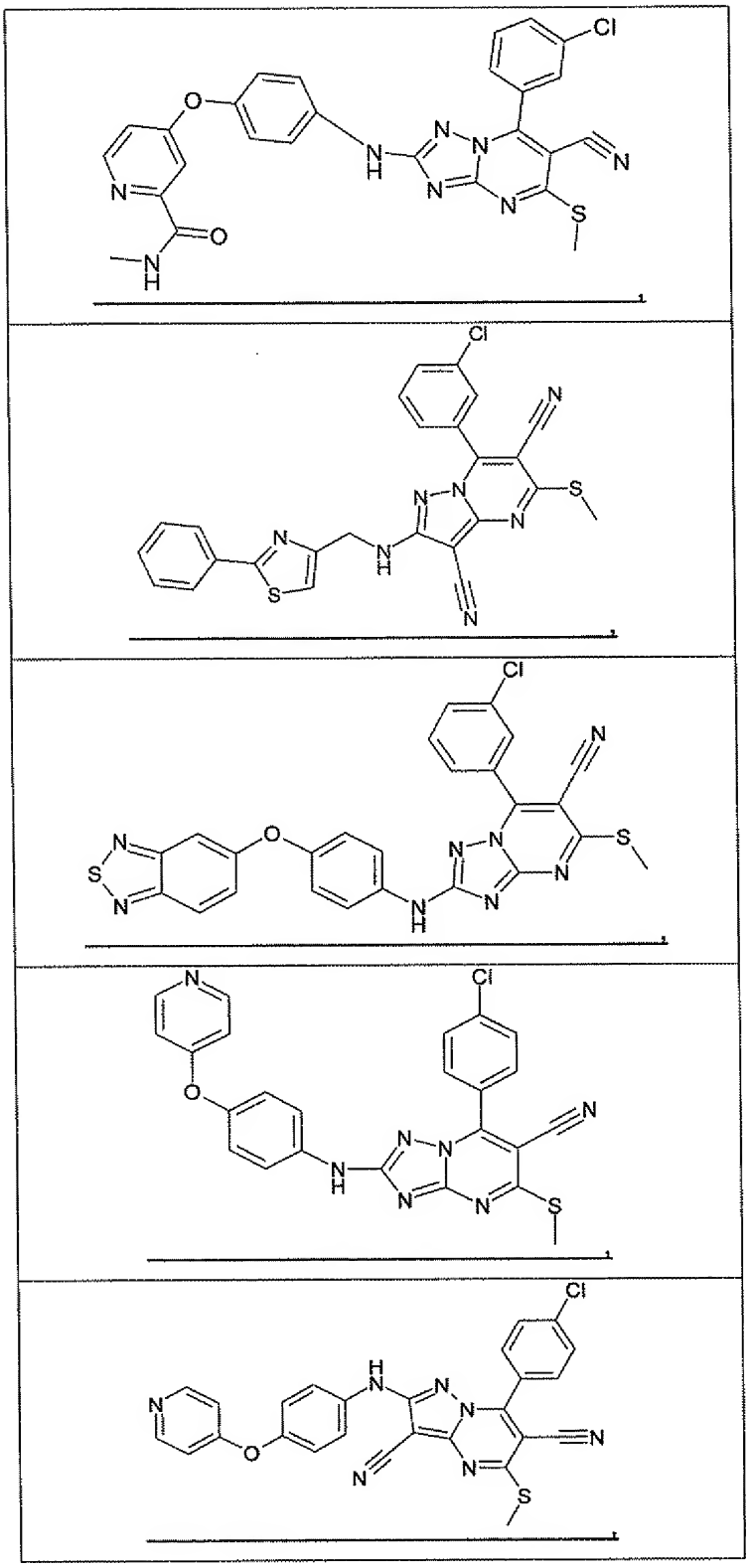


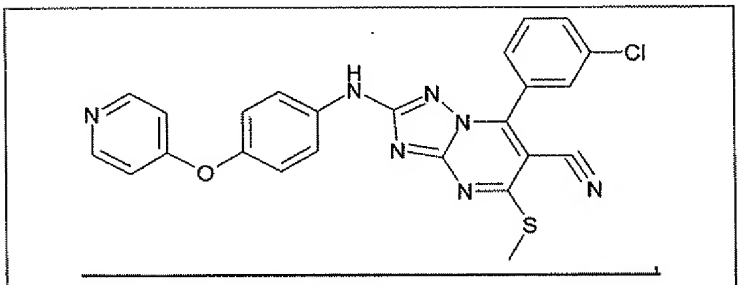


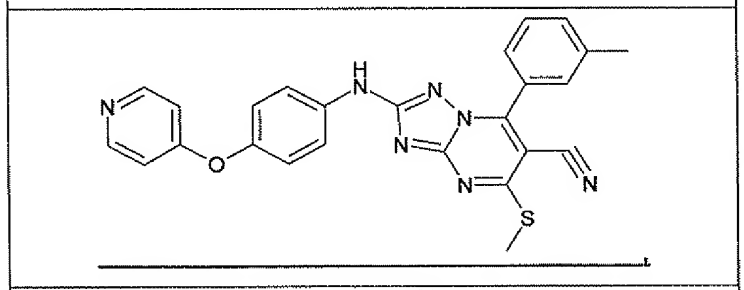


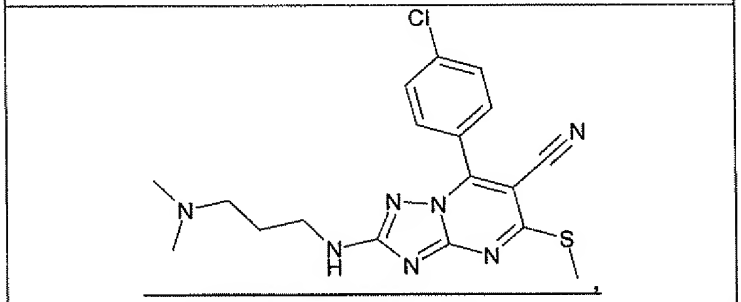


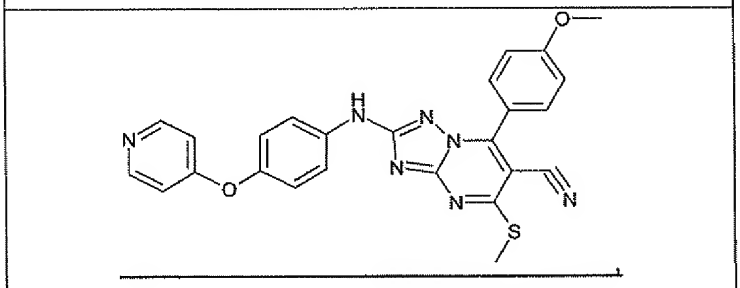


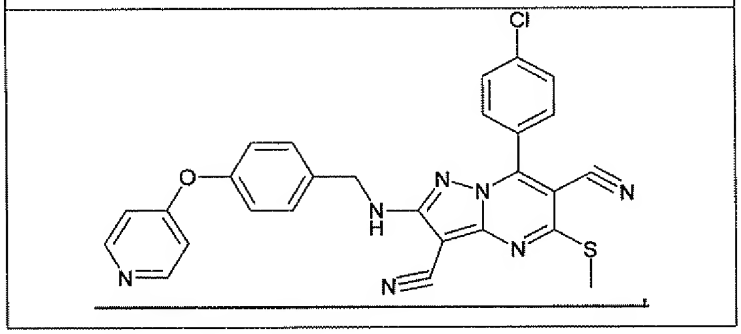


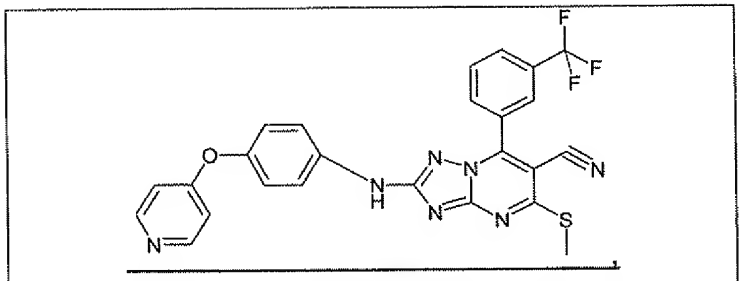


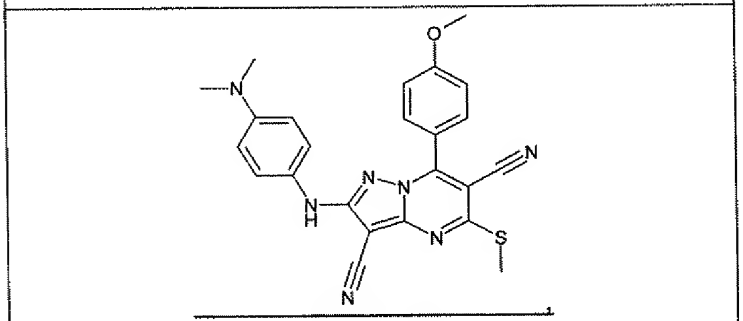


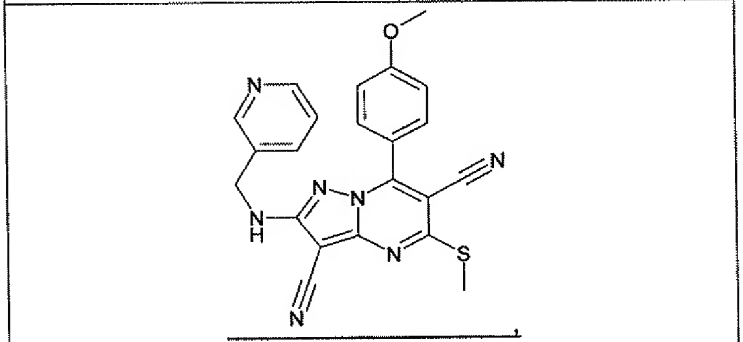


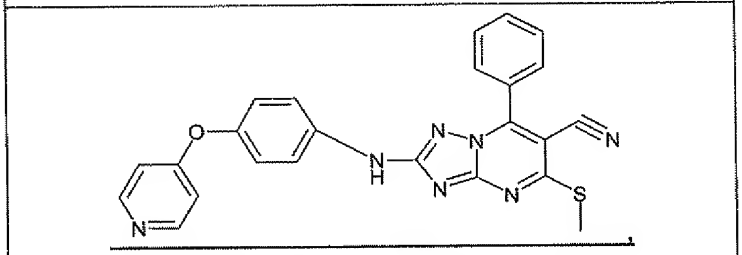


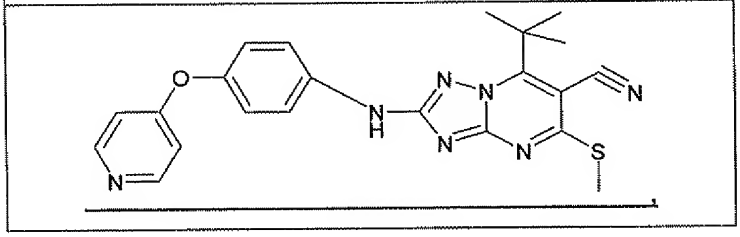


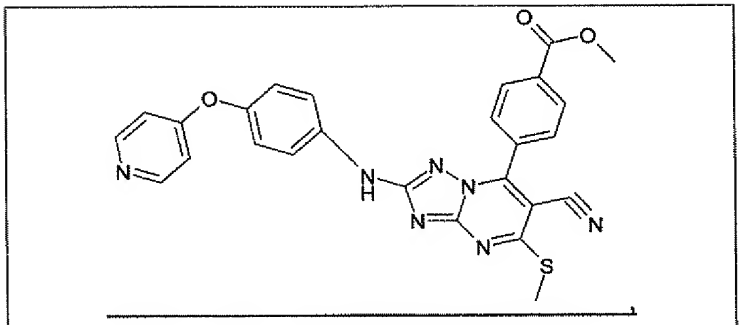


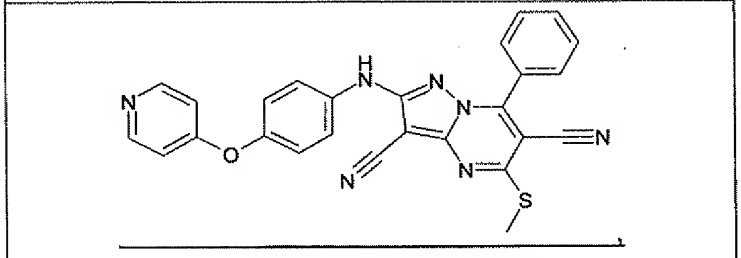


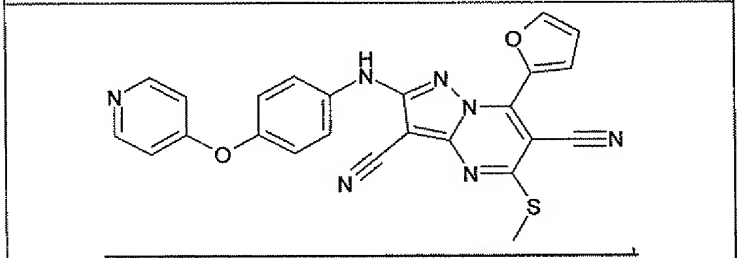


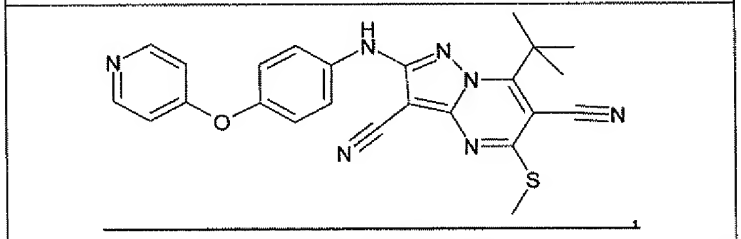


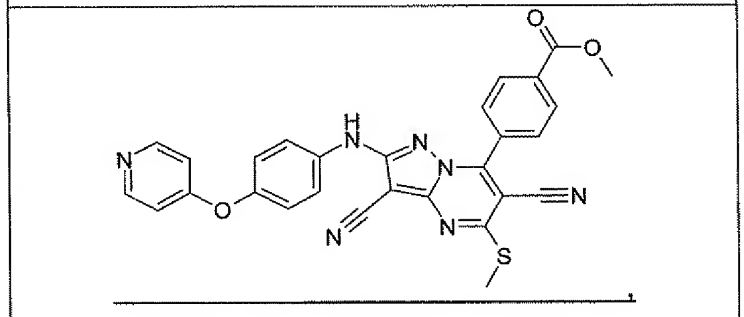


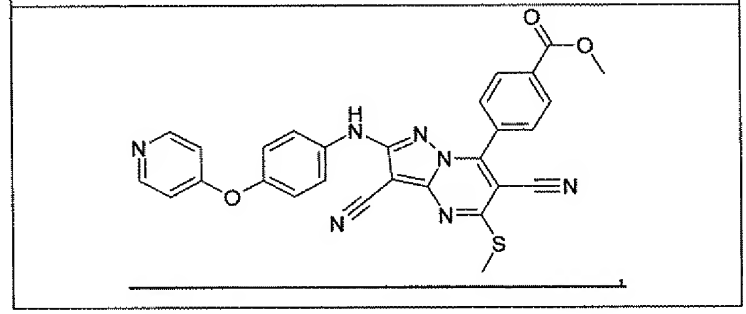


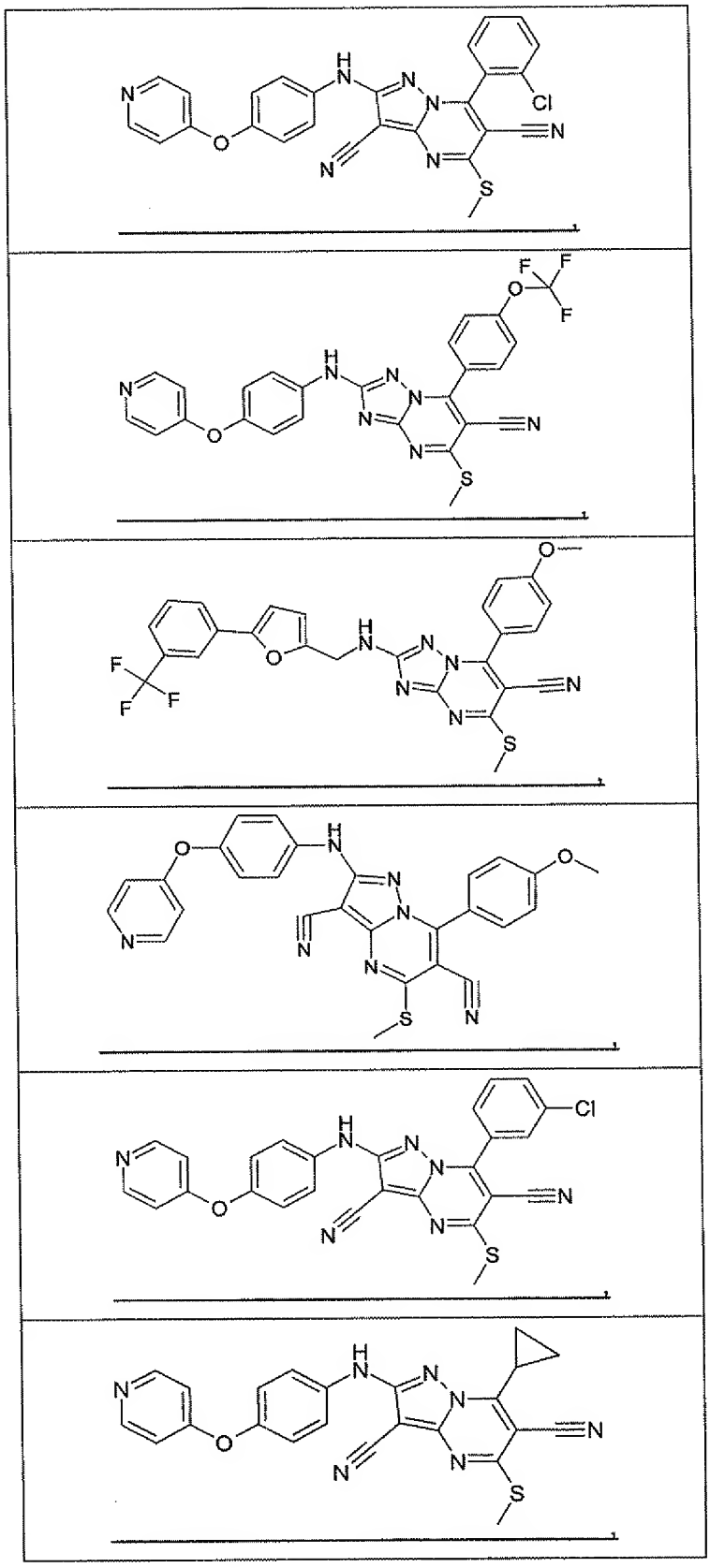


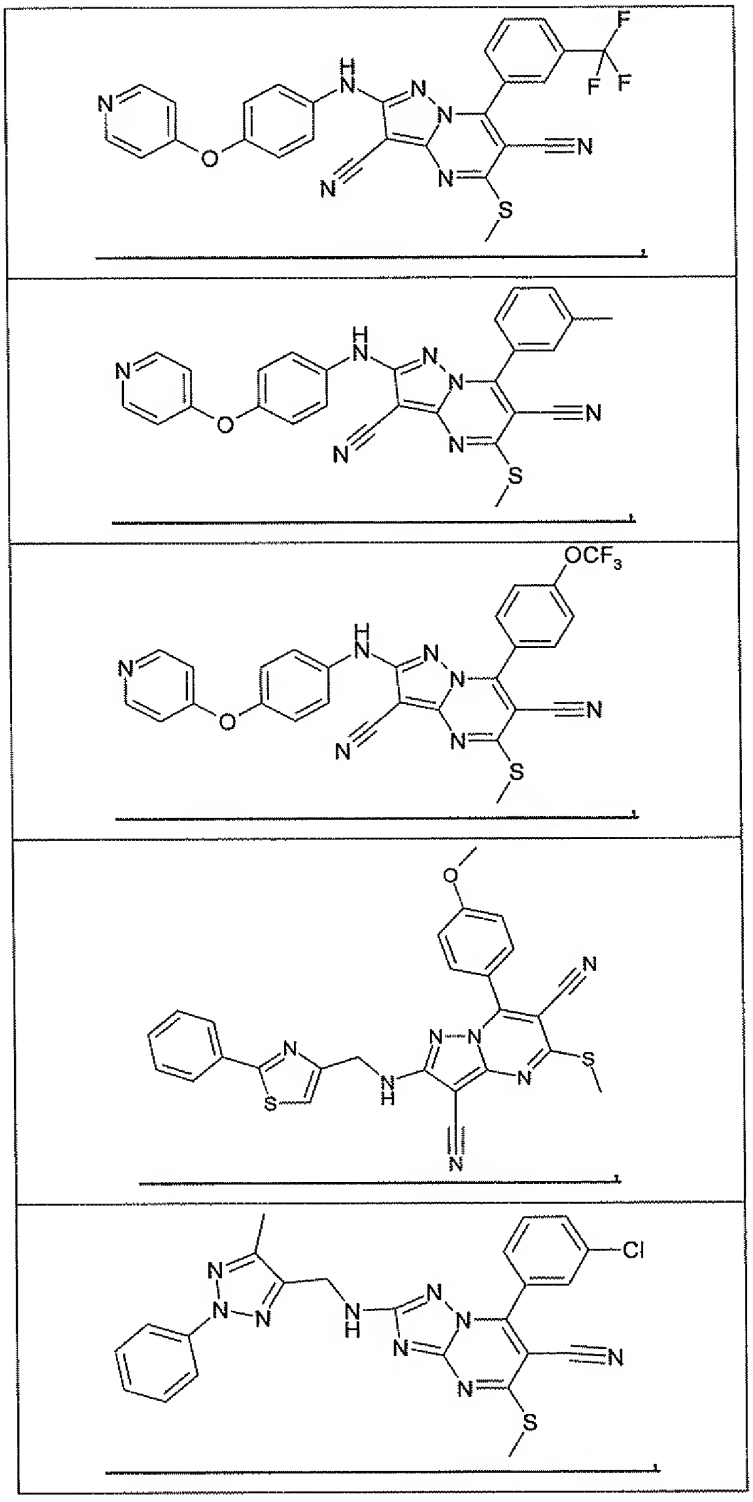


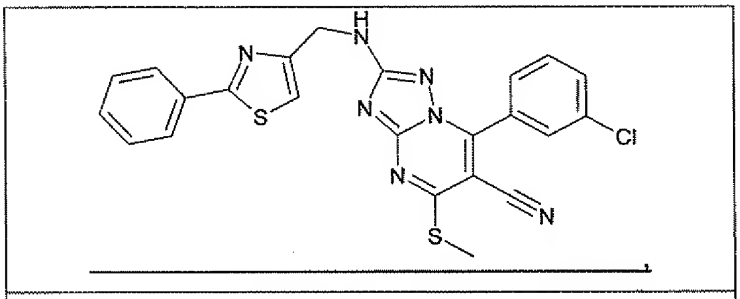


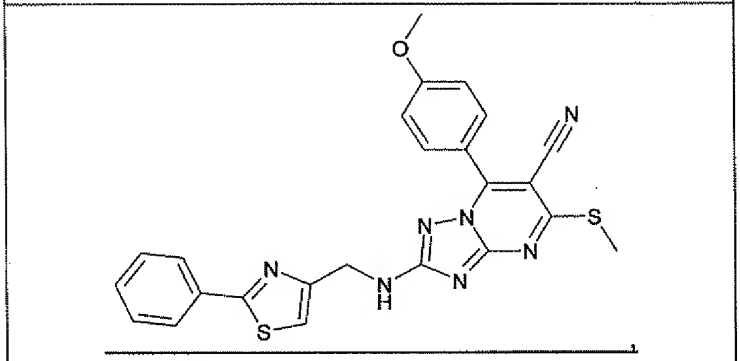


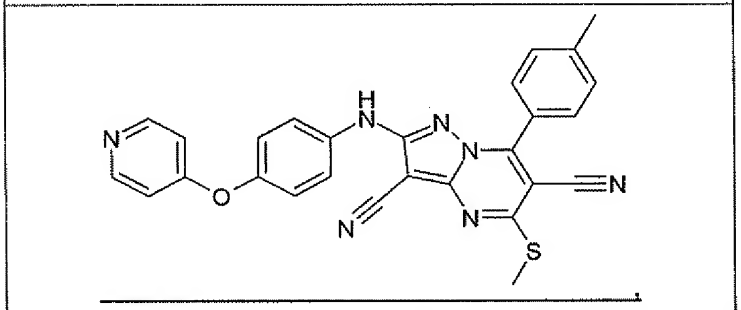


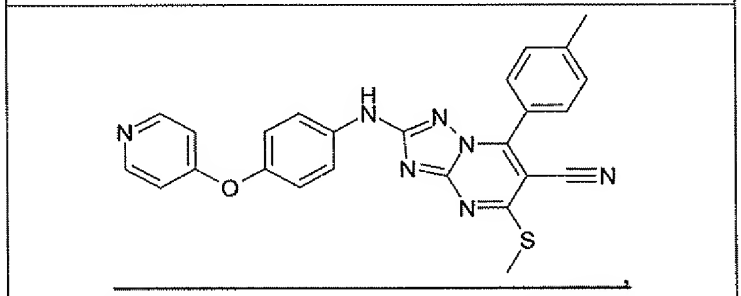


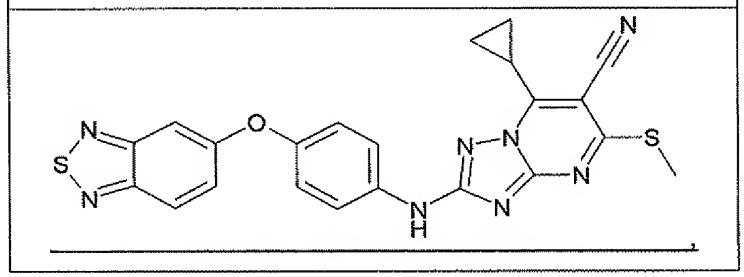


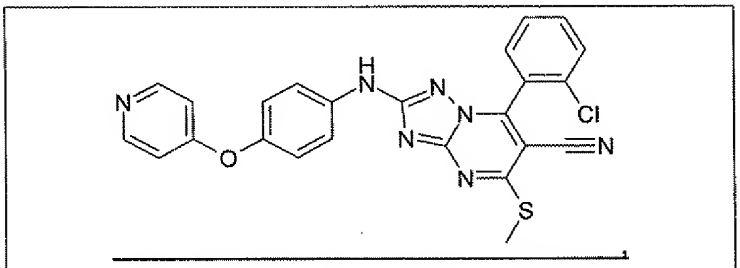


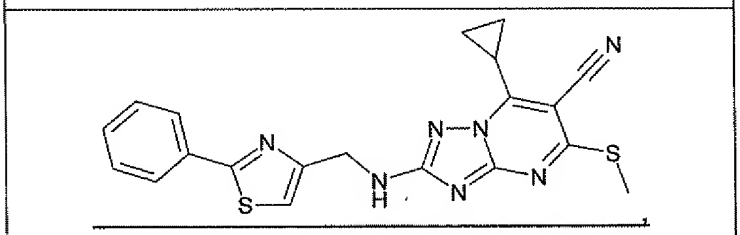


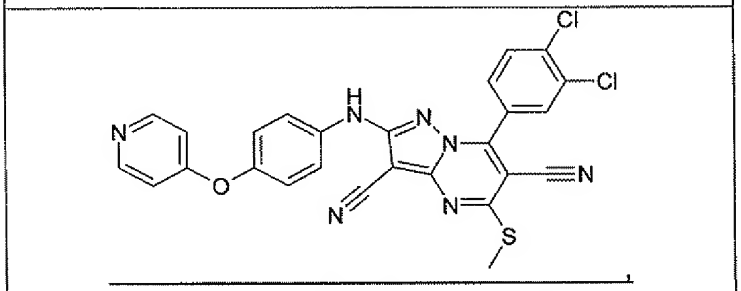


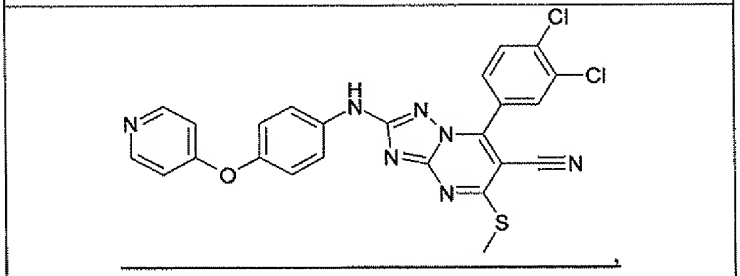


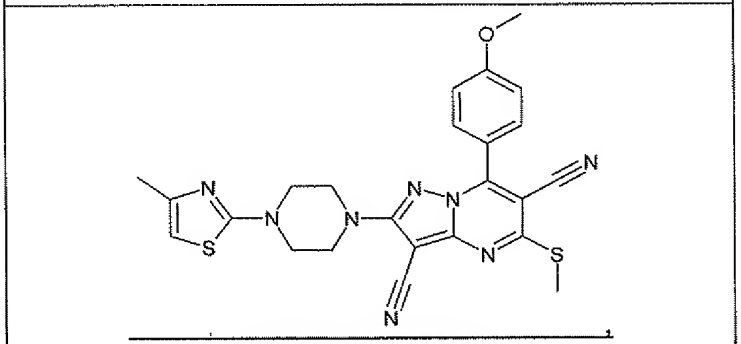


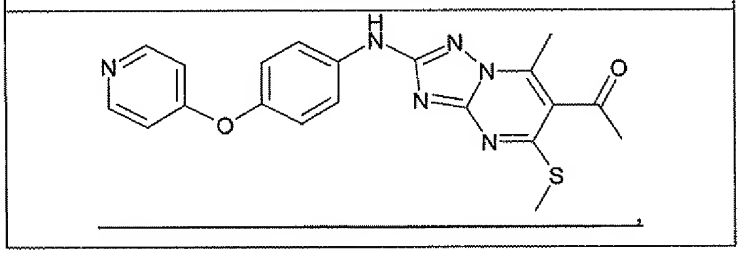


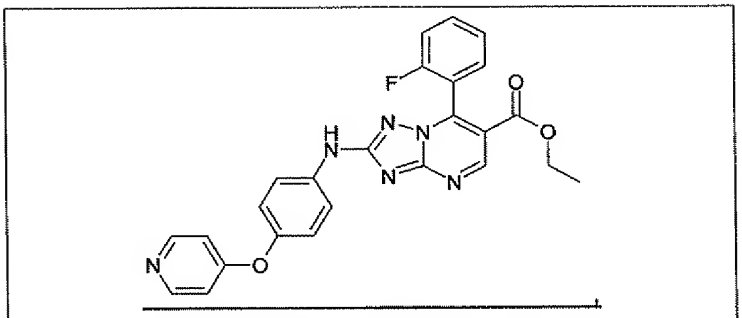


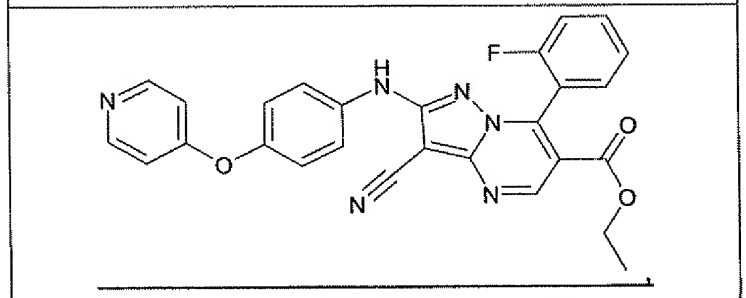


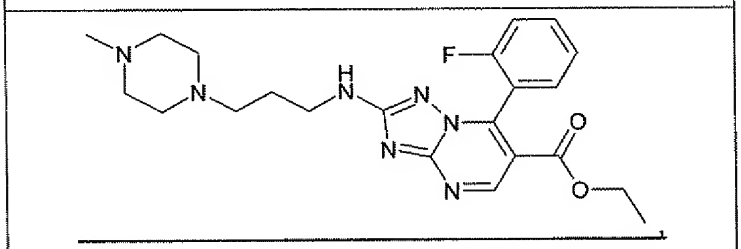


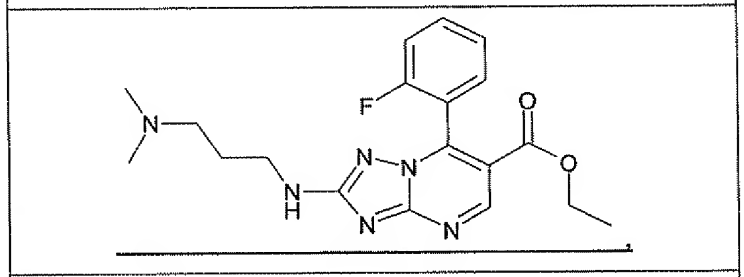


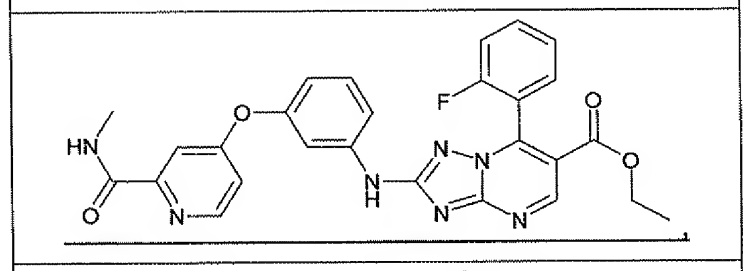


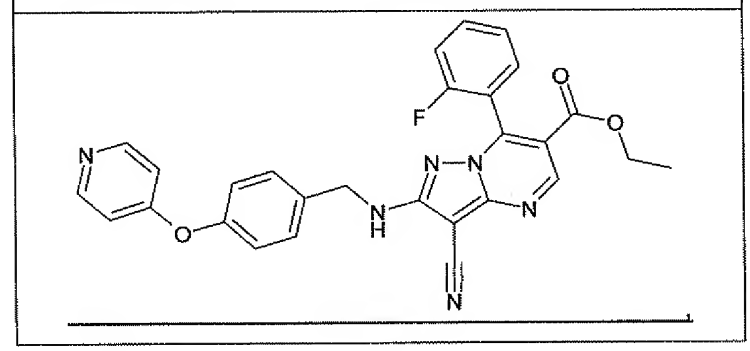


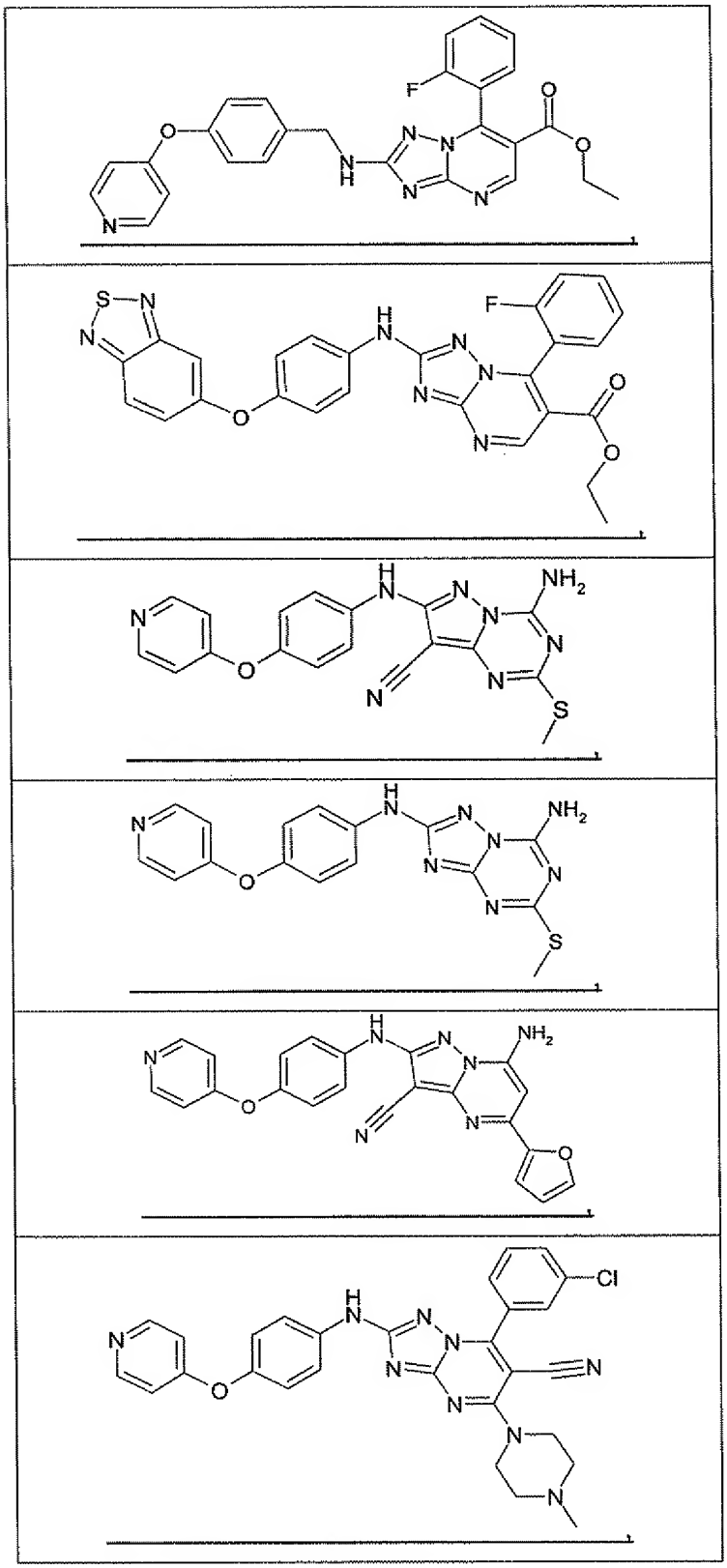


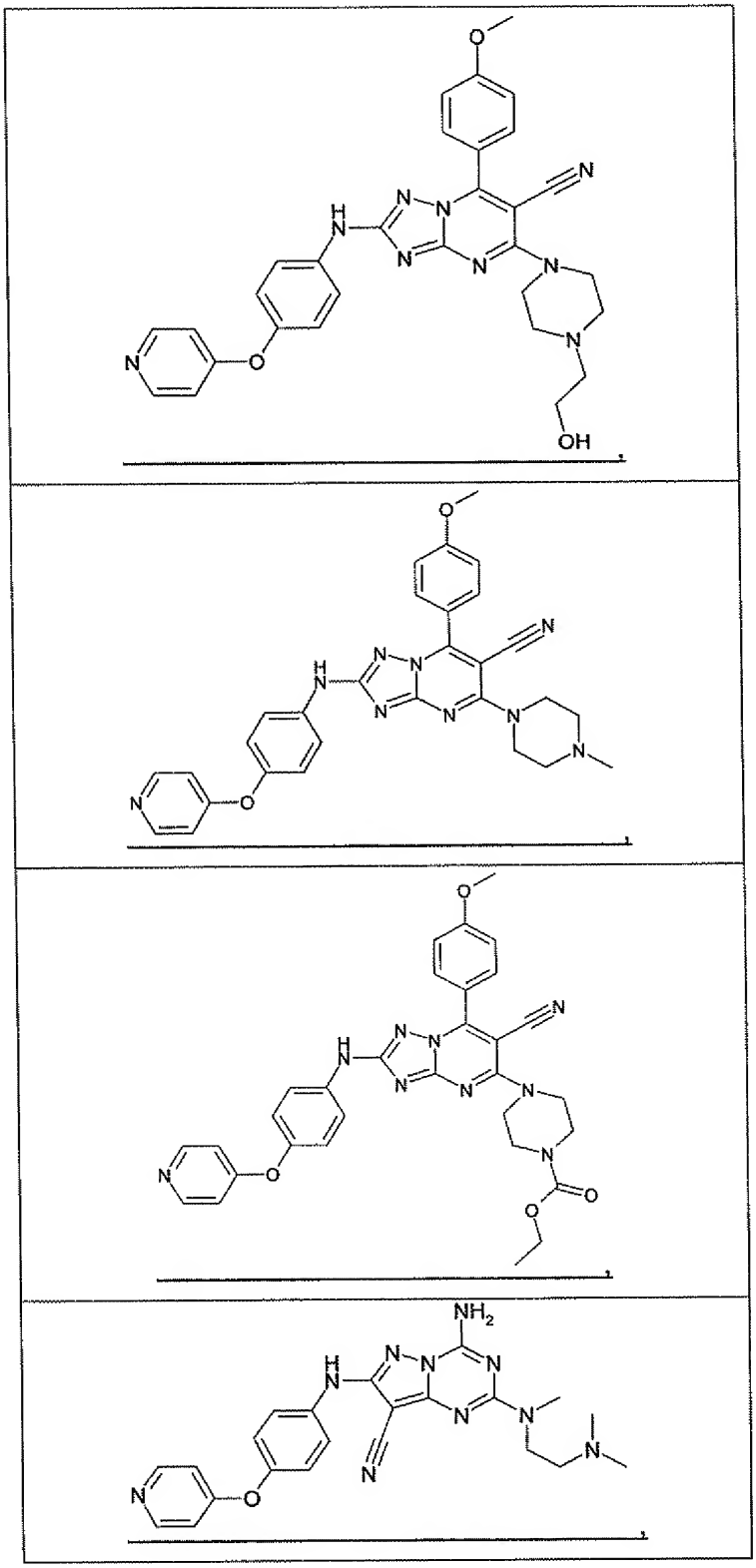


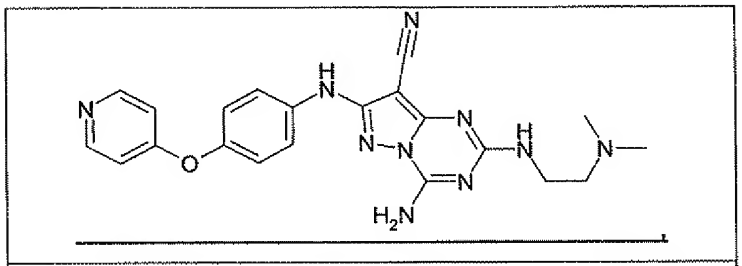


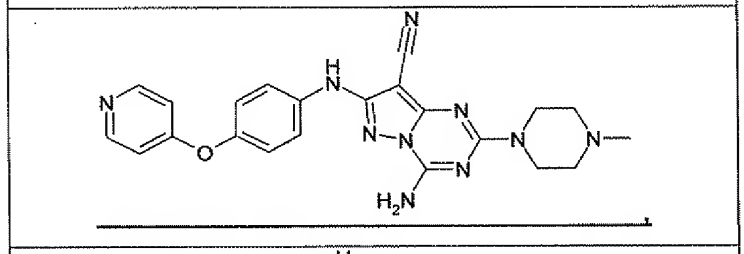


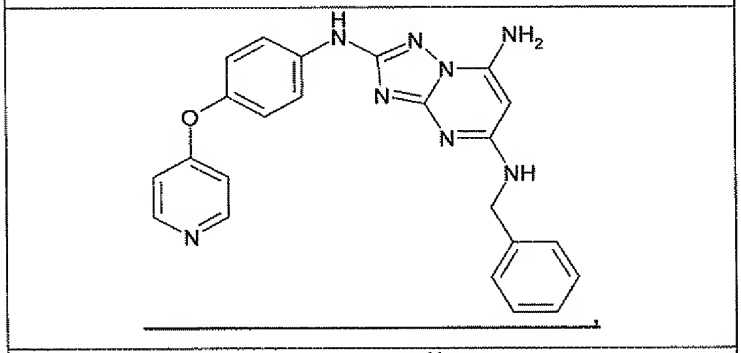


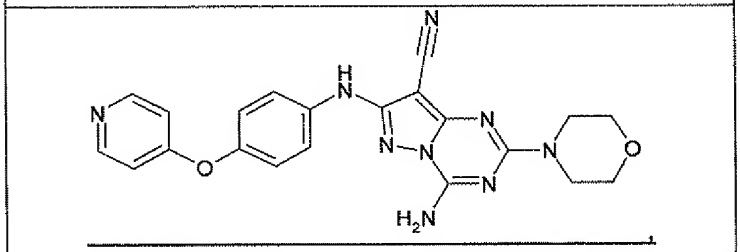


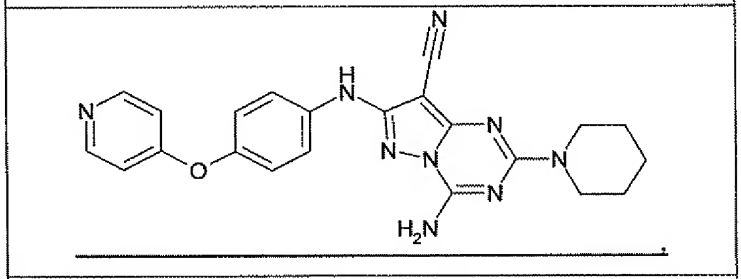


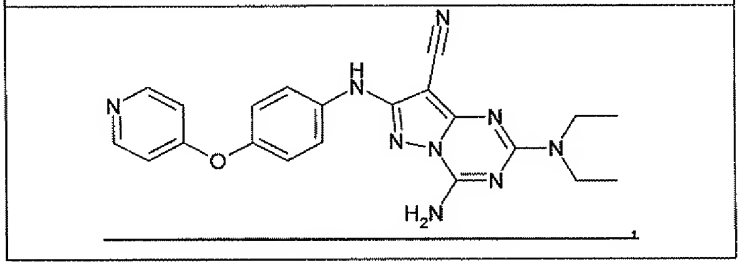


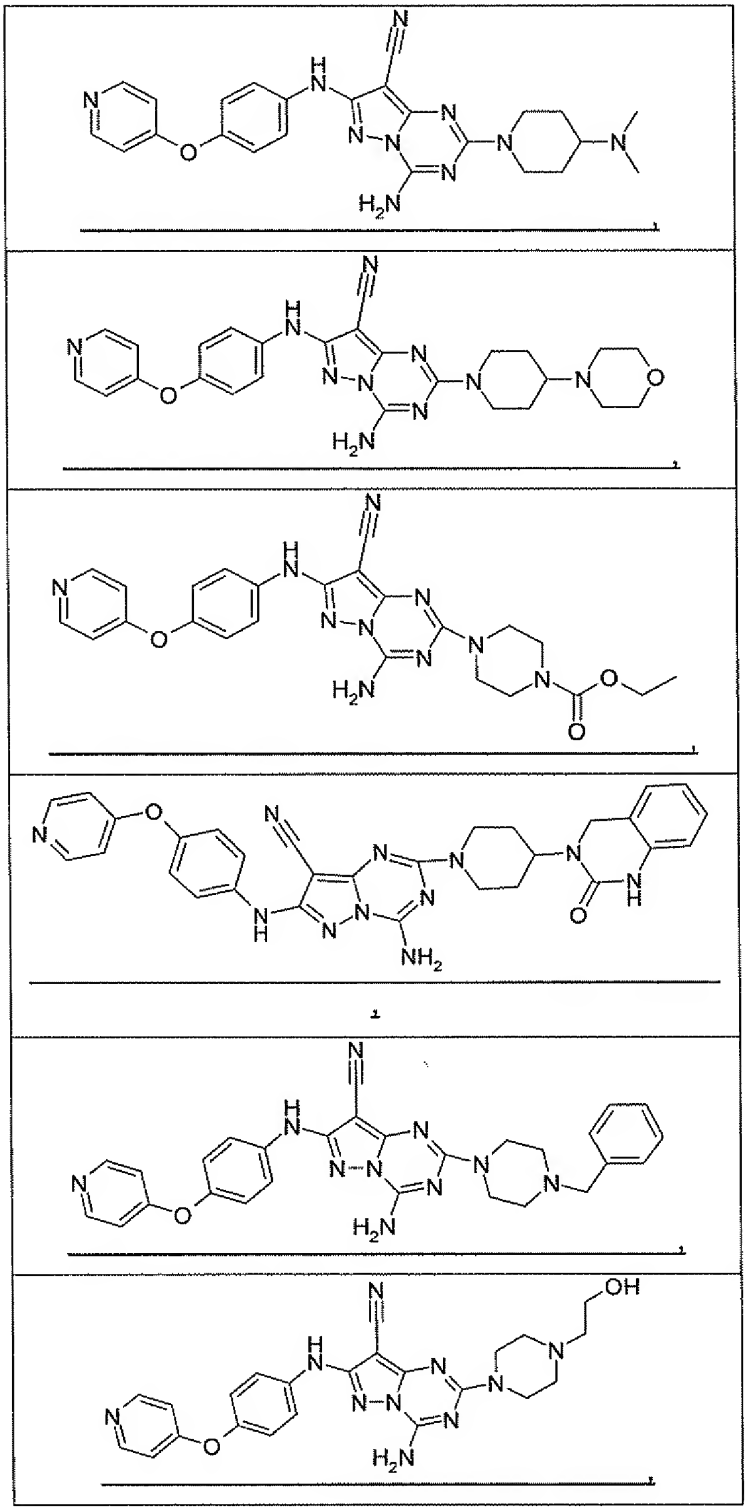


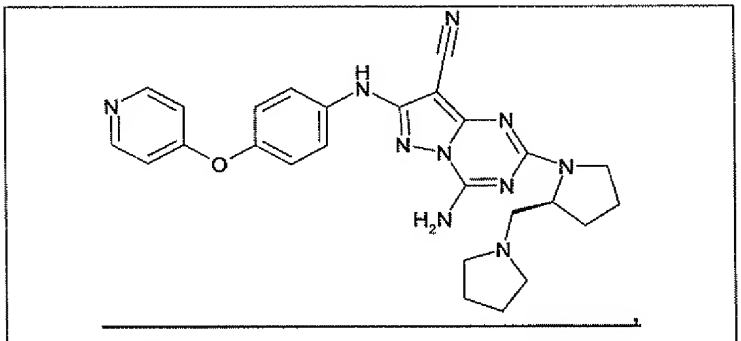


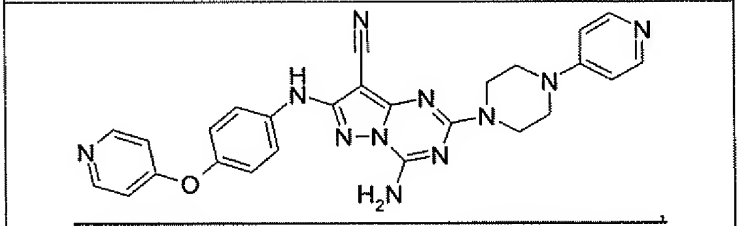


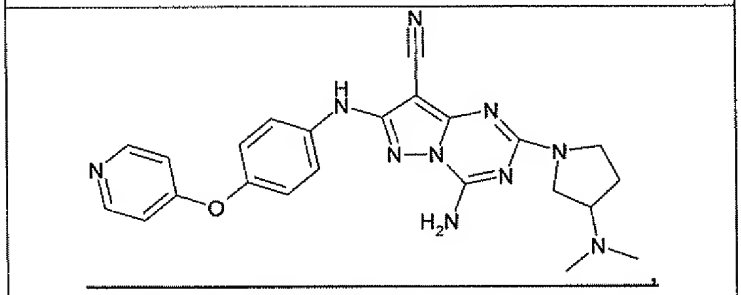


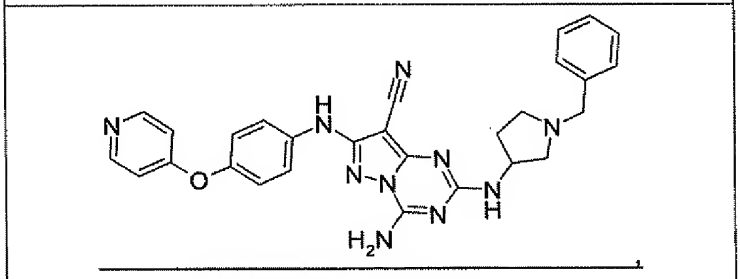


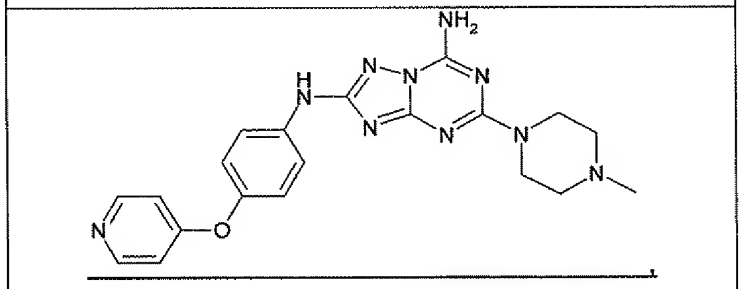


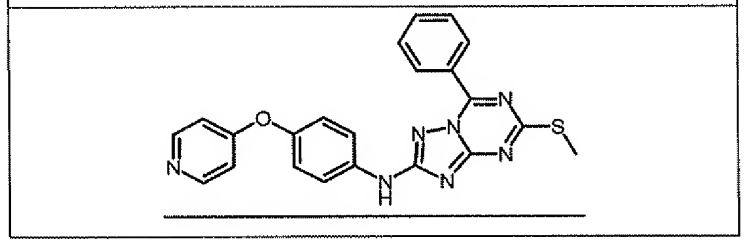








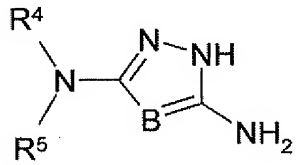




and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios;

characterised in that

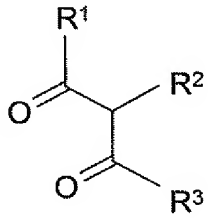
a) for the preparation of compounds of the formula I
in which X denotes C, a compound of the formula II




II

in which $R^4$, $R^5$ and B have the meanings indicated in aspect ~~Claim~~ 1,

i) is reacted with a compound of the formula IIIa

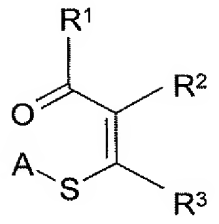



IIIa

in which $R^1$ OA and
$R^2$ and $R^3$ have the meanings indicated in aspect ~~Claim~~ 1,

or

ii) with a compound of the formula IIIb

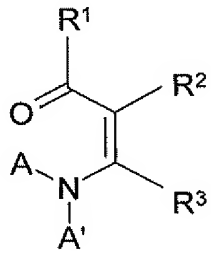


IIIb

in which $R^1$, $R^2$ and $R^3$ have the meanings indicated in aspect ~~Claim~~ 1, and A denotes alkyl having 1, 2, 3 or 4 C atoms,

or

iii) with a compound of the formula IIIc

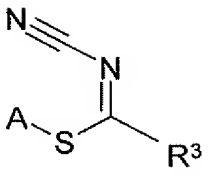


IIIc

in which
$R^1$, besides the meanings indicated in aspect ~~Claim~~ 1, also denotes OA,
$R^2$ and $R^3$ have the meanings indicated in aspect ~~Claim~~ 1,
and A, A' each, independently of one another, denote alkyl having 1, 2, 3 or 4 C atoms,
or A and A' together may also form a butylene or pentylene chain,

or

b) for the preparation of compounds of the formula I
in which X denotes N and $R^1$ denotes $NH_2$,
a compound of the formula II is reacted with a compound of the formula IIId

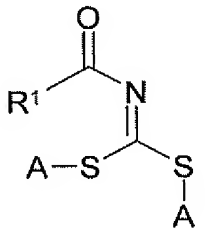


IIId

in which $R^3$ has the meaning indicated in aspect ~~Claim~~ 1,
and A denotes alkyl having 1, 2, 3 or 4 C atoms,

or

c) for the preparation of compounds of the formula I in which
X denotes N,
$R^1$ denotes H, A, $-(CH_2)_m$-Ar or $-(CH_2)_m$-$Het^2$,
$R^3$ denotes -S-A,
a compound of the formula II is reacted with a compound of the formula IIIe



IIIe

in which
$R^1$ denotes H, A, $-(CH_2)_m$-Ar or $-(CH_2)_m$-$Het^2$
and A denotes alkyl having 1, 2, 3 or 4 C atoms,

and/or that one or more radical(s) $R^1$,$R^2$ and/or $R^3$ in a compound of the formula I is (are) converted into one or more radical(s) $R^1$,$R^2$ and/or $R^3$,

by, for example,
i) converting an alkylsulfanyl group into an amine,
ii) hydrolysing an ester to the acid, reducing it to the aldehyde or alcohol,
iii) reducing a nitrile to the aldehyde or amine,

and/or

a base or acid of the formula I is converted into one of its salts.

**Please amend the specification starting on page 65, line 1, and ending on page 65, line 33, as follows:**

Also encompassed is the use of the compounds of the formula I and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment or prevention of a tyrosine kinase-induced disease or a tyrosine kinase-induced condition in a mammal, in which to this method a therapeutically effective amount of a compound according to the invention is administered to a sick mammal in need of such treatment. The therapeutic amount varies according to the specific disease and can be determined by the person skilled in the art without undue effort.

The present invention also encompasses the use of the compounds according to the invention according to aspect ~~Claim~~ 1 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment or prevention of retinal vascularisation.

Methods for the treatment or prevention of ocular diseases, such as diabetic retinopathy and age-induced macular degeneration, are likewise part of the invention. The use for the treatment or prevention of inflammatory diseases, such as rheumatoid arthritis, psoriasis, contact dermatitis and delayed hypersensitivity reaction, as well as the treatment or prevention of bone pathologies from the group osteosarcoma, osteoarthritis and rickets, likewise falls within the scope of the present invention.

The expression "tyrosine kinase-induced diseases or conditions" refers to pathological conditions that depend on the activity of one or more tyrosine kinases. Tyrosine kinases either directly or indirectly participate in the signal transduction pathways of a variety of cellular activities, including proliferation, adhesion and migration and differentiation. Diseases associated with tyrosine kinase activity include proliferation of tumour cells, pathological neovascularisation that promotes the growth of solid tumours, ocular neovascularisation (diabetic retinopathy, age-induced macular degeneration and the like) and inflammation (psoriasis, rheumatoid arthritis and the like).

**Please amend the specification starting on page 67, line 12, and ending on page 67, line 26, as follows:**

Preference is given to the use of compounds of the formula I, and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
for the preparation of a medicament for the treatment of diseases which are influenced by inhibition of tyrosine kinases by the compounds according to aspect ~~Claim~~ 1.

Particular preference is given to the use for the preparation of a medicament for the treatment of diseases which are influenced by inhibition of TIE-2, VEGFR, PDGFR, FGFR and/or FLT/KDR by the compounds according to aspect ~~Claim~~ 1.
Especial preference is given to the use for the treatment of a disease where the disease is a solid tumour.